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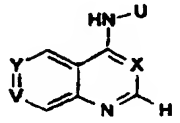

(I)

(57) Abstract

Substituted heteroaromatic compounds of formula (I) wherein X is N or CH; Y is CR^1 and V is N; or Y is N and V is CR^1; or Y is CR^1 and V is CR^2; or Y is CR^2 and V is CR^1; R^1 represents a group Q–M–, wherein M is a C_{1-4} alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6; or wherein M is a C_5 alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6.

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HETEROCYCLIC COMPOUNDS

The present invention relates to a series of substituted heteroaromatic compounds, methods for their preparation, pharmaceutical compositions containing them and their use in medicine. In particular, the invention relates to quinoline, quinazoline, pyridopyridine and pyridopyrimidine derivatives which exhibit protein tyrosine kinase inhibition.

Protein tyrosine kinases catalyse the phosphorylation of specific tyrosyl residues in various proteins involved in the regulation of cell growth and differentiation (A.F. Wilks, Progress in Growth Factor Research, 1990, 2, 97-111; S.A. Courtneidge, Dev. Supp.l, 1993, 57-64; J.A. Cooper, Semin. Cell Biol., 1994, 5(6), 377-387; R.F. Paulson, Semin. Immunol., 1995, 7(4), 267-277; A.C. Chan, Curr. Opin. Immunol., 1996, 8(3), 394-401). Protein tyrosine kinases can be broadly classified as receptor (e.g. EGFr, c-erbB-2, c-met, tie-2, PDGFr, FGFr) or non-receptor (e.g. c-src, lck, zap70) kinases. Inappropriate or uncontrolled activation of many of these kinase, i.e. aberrant protein tyrosine kinase activity, for example by over-expression or mutation, has been shown to result in uncontrolled cell growth.

Aberrant activity of protein tyrosine kinases, such as c-erbB-2, c-src, c-met, EGFr and PDGFr have been implicated in human malignancies. Elevated EGFr activity has, for example, been implicated in non-small cell lung, bladder and head and neck cancers, and increased c-erbB-2 activity in breast, ovarian, gastric and pancreatic cancers. Inhibition of protein tyrosine kinases should therefore provide a treatment for tumours such as those outlined above.

Aberrant protein tyrosine kinase activity has also been implicated in a variety of other disorders: psoriasis, (Dvir et al, J.Cell.Biol; 1991, 113, 857-865), fibrosis, atherosclerosis, restenosis, (Buchdunger et al, Proc.Natl.Acad.Sci. USA; 1991, 92, 2258-2262), auto-immune disease, allergy, asthma, transplantation rejection (Klausner and Samelson, Cell; 1991, 64, 875-878), inflammation (Berkois, Blood; 1992, 79(9), 2446-2454), thrombosis (Salari et al, FEBS; 1990, 263(1), 104-108) and nervous system diseases (Ohmichi et al, Biochemistry, 1992, 31, 4034-4039). Inhibitors of the specific protein tyrosine kinases involved in these diseases eg PDGF-R in restenosis and EGF-R in psoriasis, should lead to novel therapies for

such disorders. P56lck and zap 70 are indicated in disease conditions in which T cells are hyperactive e.g. rheumatoid arthritis, autoimmune disease, allergy, asthma and graft rejection. The process of angiogenesis has been associated with a number of disease states (e.g. tumourogenesis, psoriasis, rheumatoid arthritis) and this has been shown to be controlled through the action of a number of receptor tyrosine kinases (L.K. Shawver, DDT, 1997, 2(2), 50-63).

It is therefore a general object of the present invention to provide compounds suitable for the treatment of disorders mediated by protein tyrosine kinase activity, and in particular treatment of the above mentioned disorders.

In addition to the treatment of tumours, the present invention envisages that other disorders mediated by protein tyrosine kinase activity may be treated effectively by inhibition, including preferential inhibition, of the appropriate protein tyrosine kinase activity.

Broad spectrum inhibition of protein tyrosine kinase may not always provide optimal treatment of, for example tumours, and could in certain cases even be detrimental to subjects since protein tyrosine kinases provide an essential role in the normal regulation of cell growth.

It is another object of the present invention to provide compounds which preferentially inhibit protein tyrosine kinases, such as EGFr, c-erbB-2, c-erbB-4, c-met, tie-2, PDGFr, c-src, lck, Zap70, and fyn. There is also perceived to be a benefit in the preferential inhibition involving small groups of protein tyrosine kinases, for example groups including two or more of c-erbB-2, c-erbB-4, EGF-R, lck and zap70.

A further object of the present invention is to provide compounds useful in the treatment of protein tyrosine kinase related diseases which minimise undesirable side-effects in the recipient.

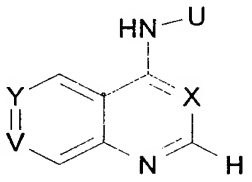
The present invention relates to heterocyclic compounds which may be used to treat disorders mediated by protein tyrosine kinases and in particular have anti-cancer properties. More particularly, the compounds of the present invention are potent inhibitors of protein tyrosine kinases such as such as EGFr, c-erbB-2, c-erbB-4, c-

met, tie-2, PDGFr, c-src, lck, Zap70, and fyn, thereby allowing clinical management of particular diseased tissues.

The present invention envisages, in particular, the treatment of human malignancies, for example breast, non-small cell lung, ovary, stomach, and pancreatic tumours, especially those driven by EGF-R or erbB-2, using the compounds of the present invention. For example, the invention includes compounds which are highly active against the c-erbB-2 receptor protein tyrosine kinase often in preference to the EGF receptor kinase hence allowing treatment of c-erbB-2 driven tumours. However, the invention also includes compounds which are highly active against both c-erbB-2 and EGF receptor kinases hence allowing treatment of a broader range of tumours.

More particularly, the present invention envisages that disorders mediated by protein tyrosine kinase activity may be treated effectively by inhibition of the appropriate protein tyrosine kinase activity in a relatively selective manner, thereby minimising potential side effects.

Accordingly, the present invention provides a compound of formula (I)



(I)

or a salt or solvate thereof;

wherein X is N or CH;

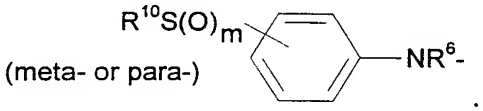
Y is CR^1 and V is N;
or Y is N and V is CR^1;
or Y is CR^1 and V is CR^2;
or Y is CR^2 and V is CR^1;

R^1 represents a group Q-M-, wherein M is a C_{1-4} alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6; or wherein M is a C_5 alkylene

group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6;

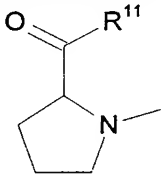
Q represents a group of formula $Z-(CH_2)_p-NR^6$, wherein p is 1 to 4 and Z is selected from the group comprising $NR^6S(O)_mR^{10}$, $S(O)_mNR^8R^9$, $CONR^8R^9$, NR^6COR^7, $S(O)_mR^{10}$ and CO_2R^7;

or Q represents a group of formula



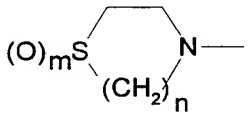
;

or Q represents a group of formula



wherein R^{11} represents NR^8R^9 or OR^{10};

or Q represents a group of formula



;

wherein R^6, R^7, R^8 and R^9 each independently represent H or C_{1-4} alkyl, and R^{10} represents C_{1-4} alkyl; m is 1 or 2; and n is 1 or 2;

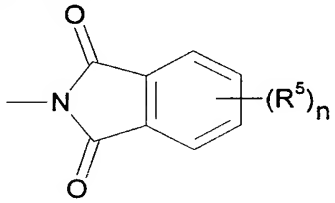
R^2 is selected from the group comprising hydrogen, halo, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylamino and di[C_{1-4} alkyl]amino;

U represents phenyl, pyridyl, pyrimidinyl or 3H-imidazolyl or a 9- or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms and optionally containing a further heteroatom selected from oxygen, nitrogen and sulphur, U being

substituted by an R^3 group and optionally substituted by up to three independently selected R^4 groups;

R^3 is selected from a group comprising benzyl, halo-, dihalo- and trihalobenzyl, benzoyl, pyridylmethyl, pyridylmethoxy, phenoxy, benzyloxy, halo-, dihalo- and trihalobenzyloxy and benzenesulphonyl;

or R^3 represents a group of formula

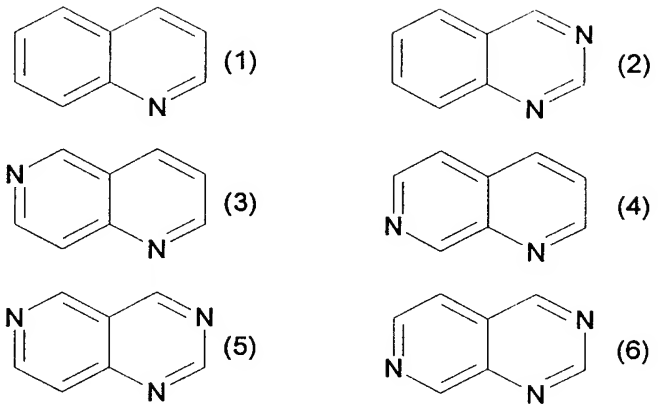


wherein each R^5 is independently selected from halogen, C_{1-4} alkyl and C_{1-4} alkoxy; and n is 0 to 3;

each R^4 is independently hydroxy, halogen, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, amino, C_{1-4} alkylamino, di[C_{1-4} alkyl]amino, C_{1-4} alkylthio, C_{1-4} alkylsulphinyl, C_{1-4} alkylsulphonyl, C_{1-4} alkylcarbonyl, carboxy, carbamoyl, C_{1-4} alkoxycarbonyl, C_{1-4} alkanoylamino, N-(C_{1-4} alkyl)carbamoyl, N,N-di(C_{1-4} alkyl)carbamoyl, cyano, nitro and trifluoromethyl.

Solvates of the compounds of formula (I) are also included within the scope of the present invention.

The definitions for X, Y and V thus give rise to a number of possible basic ring systems for the compounds of formula (I). In particular the compounds may contain the following basic ring systems:



It will be seen that for compounds containing the basic ring system (1) the group R^1 may be at the 6- or 7-position; the compounds in which R^1 is in the 6-position are of particular interest in the context of c-erbB-2 and/or EGFr activity.

It will be seen that for compounds containing the basic ring system (2) the group R^1 may be at the 6- or 7-position; the compounds in which R^1 is in the 6-position are of particular interest in the context of c-erbB-2 and/or EGFr activity.

Ring systems (1), (2), (5) and (6) are preferred; ring systems (2) and (6) are more preferred.

Alkyl groups containing three or more carbon atoms may be straight, branched or cyclised; preferably they are straight or branched. References to a specific alkyl group such as "butyl" is intended to refer to the straight chain (n-) isomer only. References to other generic terms such as alkoxy, alkylamino etc. are to be interpreted analogously.

Suitable values for the various groups listed above within the definitions for R^1, R^2, R^4 and R^5 are as follows:

halo is, for example, fluoro, chloro, bromo or iodo; preferably it is fluoro, chloro or bromo, more preferably fluoro or chloro;

C_{1-4} alkyl is, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl or tert-butyl; preferably it is methyl, ethyl, propyl, isopropyl or butyl, more preferably methyl;

C_{2-4} alkenyl is, for example, ethenyl, prop-1-enyl or prop-2-enyl; preferably it is ethenyl;

C_{2-4} alkynyl is, for example, ethynyl, prop-1-ynyl or prop-2-ynyl; preferably it is ethynyl;

C_{1-4} alkoxy is, for example, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy or tert-butoxy; preferably it is methoxy, ethoxy, propoxy, isopropoxy or butoxy; more preferably it is methoxy;

C_{1-4} alkylamino is, for example, methylamino, ethylamino or propylamino; preferably it is methylamino;

di[C_{1-4} alkyl]amino is, for example, dimethylamino, diethylamino, N-methyl-N-ethylamino or dipropylamino; preferably it is dimethylamino;

C_{1-4} alkylthio is, for example, methylthio, ethylthio, propylthio or isopropylthio, preferably methylthio;

C_{1-4} alkylsulphinyl is, for example, methylsulphinyl, ethylsulphinyl, propylsulphinyl or isopropylsulphinyl, preferably methylsulphinyl;

C_{1-4} alkylsulphonyl is, for example, methanesulphonyl, ethylsulphonyl, propylsulphonyl or isopropylsulphonyl, preferably methanesulphonyl;

C_{1-4} alkylcarbonyl is, for example methylcarbonyl, ethylcarbonyl or propylcarbonyl;

C_{1-4} alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl or tert-butoxycarbonyl;

C_{1-4} alkanoylamino (where the number of carbon atoms includes the CO functionality) is, for example, formamido, acetamido, propionamido or butyramido;

N-(C_{1-4} alkyl)carbamoyl is, for example, N-methylcarbamoyl or N-ethylcarbamoyl;

N,N-di(C_{1-4} alkyl)carbamoyl is, for example, N,N-dimethylcarbamoyl, N-methyl-N-ethylcarbamoyl or N,N-diethylcarbamoyl.

A suitable value for U when it is a 9- or 10-membered bicyclic heterocyclic moiety containing 1 or 2 nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur is, for example, a benzo-fused heterocyclic moiety such as indolyl, indolinyl, isoindolyl, isoindolinyl, indolizinyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, benzoxazolyl, 2,3-dihydrobenzoxazolyl, benzo[c]isoxazolyl, benzo[d]isoxazolyl, 2,3-dihydrobenzo[d]isoxazolyl, benzothiazoyl, 2,3-dihydrobenzothiazolyl, benzo[c]isothiazolyl, benzo[d]isothiazolyl, 2,3-

dihydrobenzo[d]isothiazolyl, 1H-benzotriazolyl, benzo[c]furazanyl, benzo[c][1,2,3]thiadiazolyl, benzo[d][1,2,3]oxadiazolyl, benzo[d][1,2,3]thiadiazolyl, quinolyl, 1,2-dihydroquinolinyl, 1,2,3,4-tetrahydroquinolinyl, isoquinolyl, 1,2,3,4-tetrahydroisoquinolinyl, cinnolinyl, quinazolinyl, quinoxalinyl, phthalazinyl, 4H-1,4-benzoxazinyl, 2,3-dihydro-4H-1,4-benzoxazinyl, 4H-1,4-benzothiazinyl or 2,3-dihydro-4H-1,4-benzothiazinyl.

In an especially preferred embodiment X is N, Y is CR^1 and V is CR^2 (ring system (2) above).

In a further especially preferred embodiment X is N, Y is CR^1 and V is N (ring system (6) above).

In a preferred embodiment R^2 represents hydrogen or C_{1-4} alkoxy.

In a more preferred embodiment R^2 represents hydrogen or methoxy.

In a most preferred embodiment R^2 represents hydrogen.

In a preferred embodiment p is 1 or 2.

In a preferred embodiment M represents a C_{3-4} alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6, wherein R^6 is methyl or hydrogen, preferably hydrogen; or M represents a C_5 alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6.

In a more preferred embodiment M represents a C_{2-4} alkylene group; or M represents a C_5 alkylene group.

In a further more preferred embodiment M represents a C_{3-4} alkylene group in which a carbon atom, other than the carbon atom immediately adjacent the group Q, is replaced by an oxygen atom or NH group (preferably the carbon atom furthest from the group Q is replaced); or M represents a C_5 alkylene group in which a carbon

atom, other than the carbon atom immediately adjacent the group Q, is replaced by an oxygen atom or NH group (preferably the carbon atom furthest from the group Q is replaced).

In a further more preferred embodiment M represents a $-CH_2-$ group.

In a most preferred embodiment M represents a $-CH_2CH_2CH_2O-$ group; or M represents a $-CH_2CH_2CH_2CH_2O-$ group.

In a further preferred embodiment R^6 represents methyl or hydrogen, more preferably hydrogen.

In a further preferred embodiment Z represents a group $S(O)_mNR^8R^9$, $NR^6S(O)_mR^{10}$ or $S(O)_mR^{10}$; wherein m is 1 or 2, more preferably 2; R^8 and R^9 are independently methyl or hydrogen, more preferably both are hydrogen; R^{10} is methyl; R^6 is methyl or hydrogen, more preferably hydrogen.

In a more preferred embodiment Q represents a $CH_3SO_2(CH_2)_{2-3}NH$, $CH_3SO(CH_2)_{2-3}NH$, $CH_3SO_2(CH_2)_{2-3}N(CH_3)$, $CH_3SO(CH_2)_{2-3}N(CH_3)$, $CH_3SO_2NH(CH_2)_{2-3}NH$ or $CH_3SO_2NH(CH_2)_{2-3}N(CH_3)$ group.

In an especially preferred embodiment Q represents a group $CH_3SO_2CH_2CH_2NH$.

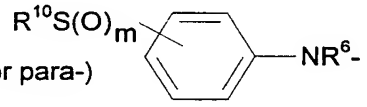
In a further especially preferred embodiment Q represents a group $CH_3SO_2CH_2CH_2N(CH_3)$.

In a further preferred embodiment Z represents a group $CONR^8R^9$; wherein R^8 and R^9 are independently methyl or hydrogen, more preferably both are hydrogen.

In another preferred embodiment Z represents a group CO_2R^7; wherein R^7 is methyl or hydrogen.

In an especially preferred embodiment Q represents NH_2COCH_2NH or $NH_2COCH_2N(CH_3)$.

In a further preferred embodiment Q represents a group of formula



wherein R^6 represents methyl or hydrogen, more preferably hydrogen; m is 2; and R^{10} is methyl.

In a further preferred embodiment R^{11} is NR^8R^9, wherein R^8 and R^9 are independently methyl or hydrogen, more preferably both are hydrogen.

In a further preferred embodiment R^{11} is OR^{10}, wherein R^{10} is tert-butyl.

In an especially preferred embodiment R^1 is $CH_3SO_2CH_2CH_2NHCH_2$.

In a further especially preferred embodiment R^1 is selected from the group comprising $HO_2CCH_2NHCH_2$, $NH_2COCH_2NHCH_2$ or $NH_2COCH_2N(CH_3)\ CH_2$.

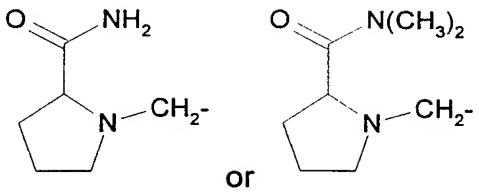
In a further especially preferred embodiment R^1 is selected from the group comprising $CH_3SO_2CH_2CH_2N(CH_3)CH_2$ or $HO_2CCH_2N(CH_3)CH_2$.

In a further especially preferred embodiment R^1 is selected from the group comprising $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$.

In a further especially preferred embodiment R^1 is selected from the group comprising $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2\ CH_2CH_2O$.

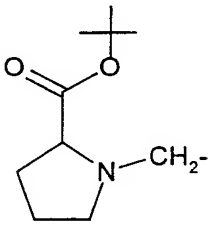
In a most preferred embodiment R^1 is $CH_3SO_2CH_2CH_2NHCH_2CH_2\ CH_2CH_2O$.

In a further especially preferred embodiment R^1 is a group of formula



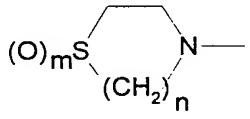
or

In a further especially preferred embodiment R^1 is a group of formula



In a further preferred embodiment n is 2.

In a further preferred embodiment, when Q represents a group of formula



, m is 1.

In a further especially preferred embodiment the group Q is a thiomorpholine-1-oxide-4-yl group.

In a preferred embodiment U represents a phenyl, pyridyl, 3H-imidazolyl, indolyl, isoindolyl, indolinyl, isoindolinyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl or 1H-benzotriazolyl group, substituted by an R^3 group and optionally substituted by up to three independently selected R^4 groups.

In a more preferred embodiment U represents a phenyl, indolyl or 1H-indazolyl group substituted by an R^3 group and optionally substituted by up to three, preferably one or two, independently selected R^4 groups.

In an especially preferred embodiment U represents a phenyl or indazolyl group substituted by an R^3 group and optionally substituted by up to three, preferably one or two, independently selected R^4 groups.

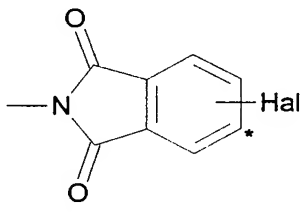
The R^3 and R^4 groups may be bound to the ring system U by either a carbon atom or a heteroatom of the ring system. The ring system itself may be bound to the bridging NH group by a carbon atom or a heteroatom but is preferably bound by a carbon atom. The R^3 and R^4 groups may be bound to either ring when U represents a bicyclic ring system, but these groups are preferably bound to the ring which is not bound to the bridging NH group in such a case.

In a more preferred embodiment, where U represents a phenyl group the group R^3 is in the para- position relative to the bond from U to the linking NH group.

In a preferred embodiment R^3 represents benzyl, pyridylmethyl, phenoxy, benzyloxy, halo-, dihalo- and trihalobenzyloxy and benzenesulphonyl.

In a further preferred embodiment R^3 represents benzyl, halo-, dihalo- and trihalobenzyl, pyridylmethyl, phenoxy, benzyloxy, halo-, dihalo- and trihalobenzyloxy and benzenesulphonyl.

In a further preferred embodiment R^3 represents a group of formula



, wherein Hal is Br or Cl, particularly Cl, more especially wherein the Hal substituent is in the position marked with a star in the ring as shown.

In a more preferred embodiment R^3 represents benzyloxy, fluorobenzyloxy (especially 3-fluorobenzyloxy), benzyl, phenoxy and benzenesulphonyl.

In an especially preferred embodiment R^3 represents fluorobenzyloxy (especially 3-fluorobenzyloxy).

In another especially preferred embodiment R^3 represents fluorobenzyl (especially 3-fluorobenzyl.

In a further preferred embodiment the ring U is not substituted by an R^4 group; in an especially preferred embodiment U is phenyl or indazolyl unsubstituted by an R^4 group.

In a further preferred embodiment U is substituted by an R^4 group, preferably halo, more preferably chloro.

In an especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents benzyloxyphenyl, fluorobenzyloxyphenyl, benzenesulphonylphenyl, benzylindazolyl or phenoxyphenyl.

In an especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents benzyloxyphenyl, fluorobenzyloxyphenyl, fluorobenzyloxy(chlorophenyl), benzenesulphonylphenyl, benzylindazolyl or phenoxyphenyl.

In a more especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents benzyloxyphenyl, 3-fluorobenzyloxyphenyl, benzenesulphonylphenyl or benzylindazolyl.

In a further more especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents fluorobenzylindazolyl (especially 3-fluorobenzylindazolyl).

In another more especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents benzyloxyphenyl or benzylindazolyl.

In a further more especially preferred embodiment the group U together with the substituent(s) R^3 and R^4 represents 3-fluorobenzyloxyphenyl, 3-fluorobenzylindazolyl or benzenesulphonylphenyl.

In a most especially preferred embodiment U together with the substituent(s) R^3 and R^4 represents 3-fluorobenzylindazolyl.

In a most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; V is CR^2, wherein R^2 is hydrogen or methoxy; Y is CR^1 wherein R^1 is a $CH_3SO_2CH_2CH_2NHCH_2$, $NH_2COCH_2NHCH_2$, $NH_2COCH_2N(CH_3)CH_2$, $HO_2CCH_2NHCH_2$, prolinamido-methyl or proline(t-butyl-ester)-methyl group; U is phenyl or indazolyl; R^3 is benzyl or benzyloxy; and R^4 is not present.

In a further most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; V is CR^2, wherein R^2 is hydrogen or methoxy; Y is CR^1 wherein R^1 is $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2CH_2O$; U is phenyl or indazolyl; R^3 is benzyl, fluorobenzyl, benzenesulphonyl, benzyloxy or fluorobenzyloxy; and R^4 is not present or is halo (especially chloro).

In a most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; Y is CR^2, wherein R^2 is hydrogen or methoxy; V is CR^1 wherein R^1 is a $CH_3SO_2CH_2CH_2NHCH_2$, $NH_2COCH_2NHCH_2$, $NH_2COCH_2N(CH_3)CH_2$, $HO_2CCH_2NHCH_2$, prolinamido-methyl or proline(t-butyl-ester)-methyl group; U is phenyl or indazolyl; R^3 is benzyl or benzyloxy; and R^4 is not present.

In a further most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; Y is CR^2, wherein R^2 is hydrogen or methoxy; V is CR^1 wherein R^1 is $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2CH_2O$; U is phenyl or indazolyl; R^3 is benzyl, fluorobenzyl, benzenesulphonyl, benzyloxy or fluorobenzyloxy; and R^4 is not present or is halo (especially chloro).

In a further most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; V is N; Y is CR^1 wherein R^1 is a

$CH_3SO_2CH_2CH_2NHCH_2$, $NH_2COCH_2NHCH_2$, $NH_2COCH_2N(CH_3)CH_2$, $HO_2CCH_2NHCH_2$, prolinamido-methyl or proline(t-butyl-ester)-methyl group; U is phenyl or indazolyl; R^3 is benzyl or benzyloxy; and R^4 is not present.

In a further most preferred embodiment there is provided a compound of formula (I) or a salt or solvate thereof wherein X is N; V is N; Y is CR^1 wherein R^1 is $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2CH_2O$; U is phenyl or indazolyl; R^3 is benzyl, fluorobenzyl, benzenesulphonyl, benzyloxy or fluorobenzyloxy; and R^4 is not present or is halo (especially chloro).

Preferred compounds of the present invention include:
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(methanesulphonyl)ethylaminomethyl)-quinazolin-4-yl)amine hydrochloride;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetic acid;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetamide;
2-(*N*-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methyl)-*N*-methylamino)-acetamide;
(2R)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxamide;
4-(4'-Benzyloxyanilino)-6-(4'-(2"-methanesulphonylethyl)aminobutoxy)quinazoline;
N-{3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl}-6-(4-{[2-(methanesulphonyl)ethyl]amino}butoxy)-4-quinazolinamine;
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)-4-quinazolinamine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl) amine;
6-(4-{[2-(Methanesulphonyl)ethyl]amino}butoxy)-N-[4-(benzenesulphonyl)phenyl]-4-quinazolinamine;
N-[4-(Benzyloxy)phenyl]-6-(3-{methyl[2-(methanesulphonyl)ethyl]amino}propoxy)-4-quinazolinamine;
and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

Especially preferred compounds of the present invention include:
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)-4-quinazolinamine;
and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

Other preferred compounds of the present invention include the following compounds (in groups denoted hereafter as Lists 1 and 2)

List 1
4-(1-Benzyl-1H-indazol-5-ylamino)-7-(2-(methanesulphonyl)ethylaminomethyl)-quinazoline;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-7-yl)methylamino)acetic acid;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-7-yl)methylamino)acetamide;
2-(*N*-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-7-yl)methyl)-*N*-methylamino)-acetamide;
(2R)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-7-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-7-ylmethyl)pyrrolidine-2-carboxamide;

List 2
4-(1-Benzyl-1H-indazol-5-ylamino)-6-(2-(methanesulphonyl)ethylaminomethyl)-pyrido[3,4-d]pyrimidine;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)pyrido[3,4-d]pyrimidin-6-yl)methylamino)acetic acid;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)pyrido[3,4-d]pyrimidin-6-yl)methylamino)-acetamide;
2-(*N*-(4-(1-Benzyl-1H-indazol-5-ylamino)pyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)acetamide;
(2R)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxamide;

List 3

4-(4'-Benzyloxyanilino)-7-(4'-(2"-methanesulphonylethyl)aminobutoxy)quinazoline;
N-{3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl}-7-(4-{[2-(methanesulphonyl)ethyl]amino}butoxy)-4-quinazolinamine;
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-7-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)-4-quinazolinamine;
7-(4-{[2-(Methyl)ethyl]amino}butoxy)-N-[4-(benzenesulphonyl)phenyl]-4-quinazolinamine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;
N-[4-(Benzyloxy)phenyl]-7-(3-{methyl[2-(methanesulphonyl)ethyl]amino}propoxy)-4-quinazolinamine;

List 4

4-(4'-Benzyloxyanilino)-6-(4'-(2"-methanesulphonylethyl)aminobutoxy)pyrido[3,4-d]pyrimidine;
N-{3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl}-6-(4-{[2-(methanesulphonyl)ethyl]amino}butoxy)- pyrido[3,4-d]pyrimidin-6-ylamine;
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)- pyrido[3,4-d]pyrimidin-6-ylamine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-pyrido[3,4-d]pyrimidin-6-yl)amine;
6-(4-{[2-(Methanesulphonyl)ethyl]amino}butoxy)-N-[4-(benzenesulphonyl)phenyl]-pyrido[3,4-d]pyrimidin-6-ylamine;
N-[4-(Benzyloxy)phenyl]-6-(3-{methyl[2-(methanesulphonyl)ethyl]amino}propoxy)-pyrido[3,4-d]pyrimidin-6-yl)amine;

and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

It is considered that the compounds specified in lists 1 and 3 above in which R^1 is in the 7-position are of particular interest in the context of lck and/or ZAP-70 activity.

Other preferred compounds of the present invention include the following compounds (in groups denoted hereafter as Lists 5 to 157)

List 5
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxy-quinazolin-4-yl)amine;

List 6
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxy-quinazolin-4-yl)amine;

List 7
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxy-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 8
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 9
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 10
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonylethylamino)-ethoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonylethylamino)-butyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonylethylamino)-propyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonylethylamino)-ethyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonylethylamino)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonylethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 11
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxy-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 12
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 13
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 14

(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 15

(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 16
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine

List 17
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-6-methoxy-quinazolin-4-yl)amine;

List 18
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-6-methoxy-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-6-methoxy-quinazolin-4-yl)amine;

List 19
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 20
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 21
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 22
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 23
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 24
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-6-methoxy-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-6-methoxy-quinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-6-methoxy-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-6-methoxy-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 25
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 26
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 27
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 28
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 29
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 30
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

(4-Benzyloxyphenyl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 31

(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 32

(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 33
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-pyrido-[3,4-d]pyrimidin-4-yl)amine;

List 34
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-pyrido-[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 35
4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-7-(2-(methanesulphonyl)ethylamino-methyl)quinazoline;

2-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-7-yl)methylamino)acetic acid;
2-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-7-yl)methylamino)-acetamide;
(2R)-1-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-7-ylmethyl)-pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-7-ylmethyl)-pyrrolidine-2-carboxamide;

List 36
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-6-(2-(methanesulphonyl)ethylamino-methyl)-pyrido[3,4-d]pyrimidine;
2-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-6-yl)methyl-amino)acetic acid;
2-(*N*-(4-(3-Fluorobenzyl-1H-indazol-5-yl amino)-pyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)acetamide;
(2R)-1-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxamide;

List 37
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 38

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl-amino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl-amino)-7-methoxyquinazolin-4-yl)amine;

List 39

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl-amino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl-amino)-7-methoxyquinazolin-4-yl)amine;

List 40

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl-amino)-quinazolin-4-yl)amine;

List 41
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl-amino)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl-amino)-quinazolin-4-yl)amine;

List 42
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl-amino)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl-amino)-quinazolin-4-yl)amine;

List 43
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl-amino)-6-methoxyquinazolin-4-yl)amine;

List 44
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl-amino)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl-amino)-6-methoxyquinazolin-4-yl)amine;

List 45
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl-amino)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl-amino)-6-methoxyquinazolin-4-yl)amine;

List 46
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl-amino)-quinazolin-4-yl)amine;

List 47

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl-amino)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl-amino)-quinazolin-4-yl)amine;

List 48

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 49
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidine;

List 50
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidine;

List 51
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidine;

(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidine;

List 52
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-pyrido[3,4-d]pyrimidine;

List 53
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidine;

(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidine;

List 54
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidine;

List 55
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 56

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-(7-(2-(methanesulphonyl)ethylamino-methyl)-quinazoline;

2-(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilinoquinazolin-7-yl)methylamino)acetic acid;

2-(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilinoquinazolin-7-yl)methylamino)acetamide;

(2R)-1-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino)-quinazolin-7-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester;

(2S)-1-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino quinazolin-7-ylmethyl)pyrrolidine-2-carboxamide;

List 57

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-6-(2-(methanesulphonyl)-ethylamino-methyl)-pyrido[3,4-d]pyrimidine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-pyrido[3,4-d]pyrimidin-6-yl)methyl-amino)-acetic acid;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-pyrido[3,4-d]pyrimidin-6-yl)methylamino)-acetamide;

(2R)-1-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilinopyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxylic acid *t*-butyl ester;

(2S)-1-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxamide;

List 58

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 59

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 60

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl -(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 61

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 62
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 63
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 64
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 65
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 66

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl -(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 67

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 68

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 69
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 70
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

List 71
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

List 72
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl -(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

List 73

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 74

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 75

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 76

N-[4-(Benzenesulphonyl)anilino]-7-(2-(methanesulphonyl)ethylaminomethyl)-quinazoline;
2- {N-[4-(Benzenesulphonyl)anilino]} quinazolin-7-yl)methylamino)acetic acid;
2-(N-[4-(Benzenesulphonyl)anilino] quinazolin-7-yl)methylamino)acetamide;
(2R)-1-(4-(N-[4-(Benzenesulphonyl)phenyl])quinazolin-7-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(N-[4-(Benzenesulphonyl)phenyl])quinazolin-7-ylmethyl)pyrrolidine-2-carboxamide;

List 77

N-[4-(Benzenesulphonyl)anilino]-6-(2-(methanesulphonyl)ethylaminomethyl)-pyrido[3,4-d]pyrimidine;
2-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-6-yl)methylamino)acetic acid;
2-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-6-yl)methylamino)-acetamide;
(2R)-1-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-6-ylmethyl)-pyrrolidine-2-carboxamide;

List 78

N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 79
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 80
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxyquinazolin-4-yl)amine;

N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 81
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)pheny]l-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 82
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;

N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 83
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(2-methanesulphonyl-ethylamino)-propylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-quinazolin-4-yl)amine;

List 84
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(2-methanesulphonyl-ethylamino)-propylamino)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 85
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 86
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-6-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 87
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)pheny]l-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;

N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-quinazolin-4-yl)amine;

List 88
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl-amino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl-amino)-quinazolin-4-yl)amine;

List 89
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(4-(2-methanesulphonyl-ethylamino)-butyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(2-methanesulphonyl-ethylamino)-propyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(7-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-quinazolin-4-yl)amine;

N-[4-(Benzenesulphonyl)phenyl]-(7-(2-(2-methanesulphonyl-ethylamino)-ethyl-amino)-quinazolin-4-yl)amine;

List 90

N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(2-methanesulphonyl-ethylamino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;

List 91

N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;

List 92

N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin-4yl)amine;

List 93
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)pheny]l-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1-oxo-1.λ.4-thiomorpholin-4-yl)-propylamino)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1-oxo-1.λ.4-thiomorpholin-4-yl)-ethylamino)-pyrido[3,4-d]pyrimidin-4yl)amine;

List 94
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propoxy)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethoxy)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butyl)-pyrido[3,4-d]pyrimidin-4yl)amine;

N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-propyl-amino)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-ethyl-amino)-pyrido[3,4-d]pyrimidin-4yl)amine;

List 95
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethoxy)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(2-methanesulphonyl-ethylamino)-butyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(2-methanesulphonyl-ethylamino)-propyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(3-(2-methanesulphonyl-ethylamino)-propyl-amino)-pyrido[3,4-d]pyrimidin-4yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(2-(2-methanesulphonyl-ethylamino)-ethyl-amino)-pyrido[3,4-d]pyrimidin-4yl)amine;

List 96
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)-amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;

List 97

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-7-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

List 98

(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butoxy)-6-methoxy-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-6-methoxy-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-6-methoxy-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)-amine;

(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

List 99

(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butoxy)-6-methoxyquinazolin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-6-methoxy-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

List 100
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 101
4-(1-(3-Fluorobenzyl-1H-indazol-5-yl)amino)-6-(2-methanesulphonylethylamino)-butoxy)-quinazoline;
4-(1-(3-Fluorobenzyl-1H-indazol-5-yl)amino)-6-(2-methanesulphonylethylamino)-butoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;

(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;

List 102
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-(6-(4-methanesulphonylethylamino)-butoxy)-pyrido[3,4-d]pyrimidine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonylethylamino)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;

List 103
N-[4-(Benzenesulphonyl)anilino]-6-(2-methanesulphonylsulphonylethylaminobutoxy)-quinazoline;
N-[4-(Benzenesulphonyl)anilino]-6-(2-methanesulphonylsulphonylethylaminobutoxy)-pyrido[3,4-d]pyrimidine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-7-methoxyquinazolin-4-yl)amine;

N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butoxy)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(2-methanesulphonyl-ethylamino)-butoxy)-quinazolin-4-yl)amine;

List 104
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-7-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;

List 105
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;

List 106
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butylamino)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-6-methoxy-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;

List 107
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-6-methoxyquinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(7-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;

List 108
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-pyrido-[3,4-d]pyrimidin-4-yl)amine;

(1-Benzyl-1H-indazol-5-yl)-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;
(1-Benzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-pyrido[3,4-d]pyrimidin-4-yl)amine;

List 109
4-(1-(3-Fluorobenzyl-1H-indazol-5-yl)amino)-6-(2-(methanesulphonyl)ethylamino)-butylamino)-quinazoline;
4-(1-(3-Fluorobenzyl-1H-indazol-5-yl)amino)-6-(2-(methanesulphonyl)ethylamino)-butylamino)-pyrido[3,4-d]pyrimidine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(4-(3-Fluorobenzyl-1H-indazol-5-yl)-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;

List 110
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-(6-(2-(methanesulphonyl)ethylamino) butylamino)-pyrido[3,4-d]pyrimidine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;

(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
(4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;

List 111
N-[4-(Benzenesulphonyl)anilino]-6-(4-(2-(methanesulphonyl)ethylamino)butylamino)-quinazoline;
N-[4-(Benzenesulphonyl)anilino]-(6-(4-(2-(methanesulphonyl)ethylamino)butylamino)-pyrido[3,4-d]pyrimidine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-7-methoxyquinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1-oxo-1.λ.4-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(1,1-dioxo-1.λ.6-thiomorpholin-4-yl)-butylamino)-quinazolin-4-yl)amine;
N-[4-(Benzenesulphonyl)phenyl]-(6-(4-(2-methanesulphonyl-ethylamino)-butylamino)-quinazolin-4-yl)amine;

List 112
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)

-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)
-7-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-
7-methoxyquinazolin-4-yl)amine;

List 113
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)
quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)
quinazolin-4-yl)amine;

List 114
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-
methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-
methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-
methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-
methoxyquinazolin-4-yl)amine;

(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 115
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)quinazolin-4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)quinazolin-4-yl)amine;

List 116
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 117
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)

pyrido[3,4-d]pyrimidin -4-yl)amine;

List 118
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 119
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzyloxyphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino) pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzyloxyphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino) pyrido[3,4-d]pyrimidin -4-yl)amine;

List 120
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)-7-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethylamino)-7-methoxyquinazoline;

List 121
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)- quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)- quinazoline;

4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)ethylamino)quinazoline;

List 122

4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)-6-methoxyquinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethylamino)-6-methoxyquinazoline;

List 123

4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)quinazoline;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)ethylamino)quinazoline;

List 124
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-7-methoxypyrido[3,4-d]pyrimidine;

4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)-7-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidine;

List 125
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)ethylamino)pyrido[3,4-d]pyrimidine;

List 126
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-6-methoxypyrido[3,4-d]pyrimidine;

4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)-6-methoxypyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethylamino)-6-methoxypyrido[3,4-d]pyrimidine;

List 127
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethoxy)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-butyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-propyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)-ethyl)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)-amino)butylamino)-pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)-amino)propylamino)pyrido[3,4-d]pyrimidine;
4-(1-Benzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)-amino)ethylamino)pyrido[3,4-d]pyrimidine;

List 128
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxyquinazoline;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-7-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxyquinazoline;

List 129
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)- quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)- quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)quinazoline;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)quinazoline;

List 130

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxyquinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxyquinazoline;

List 131

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazoline;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)quinazoline;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)quinazoline;

List 132
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-7-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidine;

List 133
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)- pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)pyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)pyrido[3,4-d]pyrimidine;

List 134
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxypyrido[3,4-d]pyrimidine;
4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxypyrido[3,4-d]pyrimidine;

List 135

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)- pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)pyrido[3,4-d]pyrimidine;

4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)pyrido[3,4-d]pyrimidine;

List 136

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-7-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-7-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 137
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)- quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)- quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)quinazolin-4-yl)amine;

List 138
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxyquinazolin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxyquinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 139
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)quinazolin-4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)quinazolin-4-yl)amine;

List 140
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 141
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;

List 142

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 143

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;

4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;
4-(3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)ethylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;

List 144
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)-7-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxyquinazolin-4-yl)amine;

List 145
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)quinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)quinazolin-4-yl)amine;

List 146
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)-6-methoxyquinazolin-4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxyquinazolin-4-yl)amine;

List 147

(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)quinazolin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)quinazolin-4-yl)amine;

List 148

(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-7-methoxypyrido[3,4-d]pyrimidin-4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-7-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 149
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(6-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;

List 150
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)butylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)propylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)-6-methoxypyrido[3,4-d]pyrimidin -4-yl)amine;

List 151
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethoxy)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethyl)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(4-(2-methanesulphonyl-ethyl-(N-methyl)amino)-butylamino)-pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(3-(2-methanesulphonyl-ethyl-(N-methyl)amino)-propylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;
(4-Benzenesulphonylphenyl)-(7-(2-(2-methanesulphonyl-ethyl-(N-methyl)amino)-ethylamino)pyrido[3,4-d]pyrimidin -4-yl)amine;

List 152
2-(*N*-(N-(4-Benzyloxyphenyl)quinazolin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-(N-[4-(Benzenesulphonyl)phenyl])quinazolin-6-yl)methyl)-*N*-methylamino)-acetamide;

2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilinoquinazolin-6-yl)methyl)-*N*-methyl-amino)acetamide;
2-(*N*-(4-(1-(1-Benzyl-1H-indazol-5-ylamino)-quinazolin-6-yl)methyl)-*N*-methylamino)-acetamide;
2-(*N*-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-6-yl)methyl)-*N*-methyl-amino)acetamide;

List 153
2-(N-[4-(Benzyloxy)anilino])pyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)-acetamide;
2-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methyl-amino)acetamide;
2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-pyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-6-yl)methylamino)-acetamide;
2-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-6-yl)methyl-amino)acetamide;

List 154
2-(*N*-(N-(4-Benzyloxyphenyl)quinazolin-7-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-(N-[4-(Benzenesulphonyl)phenyl])quinazolin-7-yl)methyl)-*N*-methylamino)-acetamide;
2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilinoquinazolin-7-yl)methyl)-*N*-methyl-amino)acetamide;
2-(*N*-(4-(1-(1-Benzyl-1H-indazol-5-ylamino)-quinazolin-7-yl)methyl)-*N*-methyl-amino)acetamide;
2-(*N*-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-quinazolin-7-yl)methyl)-*N*-methylamino)acetamide;

List 155
2-(N-[4-(Benzyloxy)anilino])pyrido[3,4-d]pyrimidin-7-yl)methyl)-*N*-methylamino)-acetamide;
2-(N-[4-(Benzenesulphonyl)anilino])pyrido[3,4-d]pyrimidin-7-yl)methyl)-*N*-methyl-amino)acetamide;

2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-pyrido[3,4-d]pyrimidin-7-yl)methyl)-*N*-methylamino)acetamide;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-7-yl)methylamino)-acetamide;
2-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-pyrido[3,4-d]pyrimidin-7-yl)methyl-amino)acetamide;

List 156
2-(*N*-(N-(4-Benzyloxyphenyl)-7-methoxyquinazolin-6-yl)methyl)-*N*-methylamino)-acetamide;
2-(*N*-(N-[4-(Benzenesulphonyl)phenyl])-7-methoxyquinazolin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-7-methoxyquinazolin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-(4-(1-(1-Benzyl-1H-indazol-5-ylamino)-7-methoxyquinazolin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-(4-(1-(3-Fluorobenzyl-1H-indazol-5-ylamino)-7-methoxyquinazolin-6-yl)methyl)-*N*-methylamino)acetamide;

List 157
2-(N-[4-(Benzyloxy)anilino])-7-methoxypyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methyl-amino)acetamide;
2-(N-[4-(Benzenesulphonyl)anilino])-7-methoxypyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(*N*-((4-(3-Chloro-4-[(3-fluorobenzyl)oxy]anilino-7-methoxypyrido[3,4-d]pyrimidin-6-yl)methyl)-*N*-methylamino)acetamide;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)-7-methoxypyrido[3,4-d]pyrimidin-6-yl)methyl-amino)acetamide;
2-(4-(3-Fluorobenzyl-1H-indazol-5-ylamino)-7-methoxypyrido[3,4-d]pyrimidin-6-yl)methylamino)acetamide;

and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

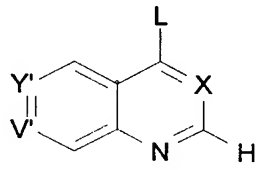
It is considered that the compounds specified in lists 17 to 28, 35, 43 - 48, 56, 64 - 69, 76, 84 - 89, 99, 106, 107, 114, 115, 118, 119, 122, 123, 126, 127, 130, 131, 134, 135, 138, 138, 142, 143, 146, 147, 150, 151, 154 and 155 above in which R^1 is in the 7-position are of particular interest in the context of lck and/or ZAP-70 activity.

Certain compounds of formula (I) may exist in stereoisomeric forms (e.g. they may contain one or more asymmetric carbon atoms or may exhibit *cis-trans* isomerism). The individual stereoisomers (enantiomers and diastereoisomers) and mixtures of these are included within the scope of the present invention. Likewise, it is understood that compounds of formula (I) may exist in tautomeric forms other than that shown in the formula and these are also included within the scope of the present invention.

Salts of the compounds of the present invention may comprise acid addition salts derived from a nitrogen in the compound of formula (I). The therapeutic activity resides in the moiety derived from the compound of the invention as defined herein and the identity of the other component is of less importance although for therapeutic and prophylactic purposes it is, preferably, pharmaceutically acceptable to the patient. Examples of pharmaceutically acceptable acid addition salts include those derived from mineral acids, such as hydrochloric, hydrobromic, phosphoric, metaphosphoric, nitric and sulphuric acids, and organic acids, such as tartaric, acetic, trifluoroacetic, citric, malic, lactic, fumaric, benzoic, glycolic, gluconic, succinic and methanesulphonic and arylsulphonic, for example p-toluenesulphonic, acids.

According to a further aspect of the present invention there is provided a process for the preparation of a compound of formula (I) as defined above which comprises the steps:

(a) the reaction of a compound of formula (II)



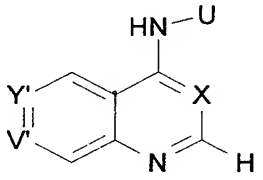
(II)

wherein X is as defined above;

Y' is C-M-L' and V' is N;
or Y' is N and V' is C-M-L';
or Y' is C-M-L' and V' is CR^2;
or Y' is CR^2 and V' is C-M-L';
wherein R^2 and M are as defined above, and L and L' are suitable leaving groups, with a compound of formula (III)

$$UNH_2 \qquad (III)$$

wherein U is as defined above, to prepare a compound of formula (IV)

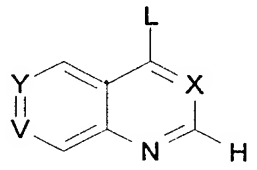


(IV)

and subsequently (b) reaction with appropriate reagent(s) to substitute the group Q by replacement of the leaving group L'; and, if desired, (c) subsequently converting the compound of formula (I) thereby obtained into another compound of formula (I) by means of appropriate reagents.

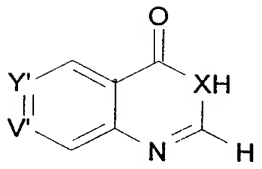
Alternatively, the compound of formula (II) as defined above is reacted with the appropriate reagents to substitute the group Q by replacement of the leaving group L' and then the product thereby obtained (of formula (V) below) is reacted with the compound of formula (III) as defined above, followed, if desired, by conversion of the compound of formula (I) thereby obtained into another compound of formula (I).

In a variant of this alternative the compound of formula (V)



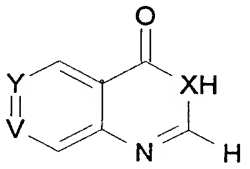
(V)

wherein X, Y, V and L are as defined above, may be prepared by the reaction of a compound of formula (VI)



(VI)

wherein V' and Y' are as defined above, with appropriate reagents to substitute the group Q for the leaving group L' to prepare a compound of formula (VII)



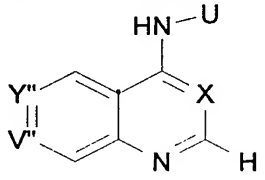
(VII)

and subsequent reaction to incorporate the leaving group L. For example, a chloro leaving group can be incorporated by reaction of a corresponding 3,4-dihydropyrimidone with carbon tetrachloride/triphenylphosphine in an appropriate solvent.

The group Q may, therefore, be substituted onto the side chain attached to the basic ring system by replacement of a suitable leaving group L' carried by the side chain in the appropriate position on the ring.

According to a further aspect of the present invention there is provided a process for the preparation of a compound of formula (I) as defined above which comprises the steps:

(a) reacting a compound of formula (IV) as defined above with appropriate reagent(s) to prepare a compound of formula (VIII)



(VIII)

wherein X and U are as defined above;

Y" is CT and V" is N;

or Y" is N and V" is CT;

or Y" is CT and V" is CR^2;

or Y" is CR^2 and V" is CT; wherein R^2 is as defined above and T is an appropriately functionalised group;
and (b) subsequently converting the group T into the group R^1 by means of appropriate reagent(s); and, if desired, (c) subsequently converting the compound of formula (I) thereby obtained into another compound of formula (I) by means of appropriate reagents.

Such processes are particularly suitable for the preparation of compounds of formula (I) wherein M represents a C_{1-4}-alkylene group.

Analogous processes would also be suitable for the preparation of compounds of formula (I) wherein M represents a C_5-alkylene group.

In such cases preferably the group T would carry a terminal formyl group (CHO).

Where T represents a formyl group the compound may be suitably prepared from the corresponding iodo substituted compound, for example by reaction with sodium formate under suitable conditions and with appropriate additional reagents (for example in a suitable solvent, such as DMF, together with a palladium catalyst, such as bis(triphenylphosphine) palladium(II)chloride, and triphenylphosphine); this will involve carbon monoxide as the reacting species.

Where T represents a OHC-CH_2 group the compound may be prepared by a suitable Wittig reaction of the compound wherein T represents OHC, for example with methoxymethyltriphenylphosphonium chloride. The initial unsaturated ether from this reaction will rearrange under acid conditions to the homologous aldehyde.

A similar process could be repeated a number of times as necessary to build a longer chain length, i.e. to prepare a compound wherein T represents a OHC-$(CH_2)_{2-3}$ group. Alternatively, the compound wherein T represents a OHC-CH_2CH_2 group may be prepared by a suitable Wittig reaction of the compound wherein T represents OHC with (1,3-dioxolan-2-ylmethyl)triphenylphosphonium bromide, followed by reduction of the resulting double bond and deprotection to give the saturated compound; this will also require a careful choice of reduction conditions so as not to affect the desired terminal aldehyde and any other sensitive groups in the molecule.

Therefore a suitable process may comprise reaction of a compound of formula (VIII) in which T carries a terminal formyl substituent (i.e. is a -CHO group or a -(C_{1-3} alkylene)-CHO group) (of formula (VIIIa)) with a compound of formula QH. The reaction preferably involves a reductive amination by means of an appropriate reducing agent, for example sodium triacetoxyborohydride.

As an alternative process an appropriate iodo-substituted compound may be reacted with suitable compounds containing a terminal double or triple bond in a palladium catalysed reaction. Such a reaction scheme would result in the introduction of an appropriate side chain, for example carrying a terminal aldehyde, or a protected aldehyde or indeed already carrying the group Q, in its alkene form which could then be reduced to the saturated version.

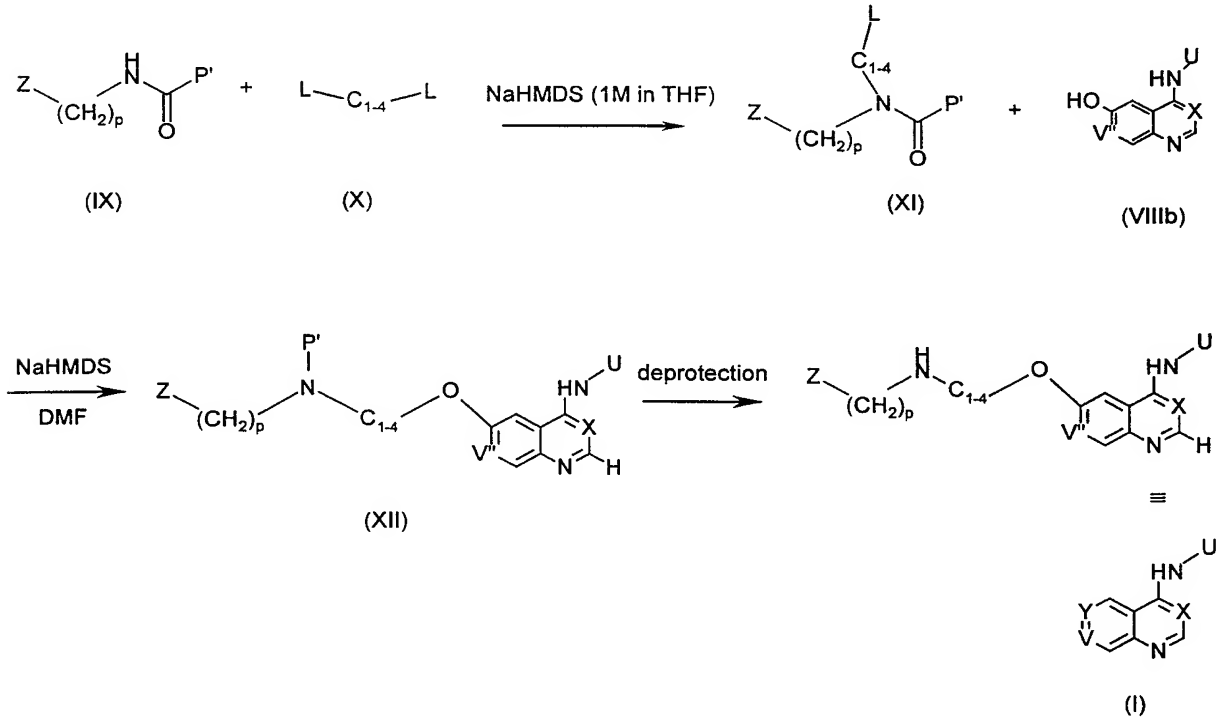
Compounds of formula (I) in which M contains an additional heteroatom may be prepared by analogous methods. For example, where M contains a nitrogen atom adjacent the basic ring sytem the compounds may be prepared from the appropriate amino-substituted compound. This may be readily reacted with an aldehyde by a reductive amination reaction. Thus it might be appropriate to use a monoprotected dialdehyde, react the free aldehyde with the amino group on the basic ring system, then deprotect the now terminal aldehyde prior to the final reductive amination with the compound of formula QH. Similarly, where M contains an oxygen or sulphur atom adjacent the basic ring system the compounds may be prepared from the appropriate hydroxy- or thiol-substituted compounds respectively. Such compounds, if deprotonated, will react with a relevant compound carrying a suitable leaving group (such as bromo or tosylate), to build on a side chain containing a protected terminal aldehyde.

According to a further alternative synthesis of compounds of formula (I) wherein M contains an oxgyen or sulphur atom adjacent the basic ring system, these may be prepared from the appropriate hydroxy- or thiol-substituted compounds of formula (VIII) (prepared, for example, by deprotection of the corresponding acetoxy- or thioacetyl-substituted compounds via General Procedure D), which may be deprotonated and reacted with a relevant N-protected amine carrying a suitable leaving group (such as bromo or tosylate), to build on a side-chain containing a

protected tertiary amine. The N-protection may then readily be removed by hydrolysis methods well-known to those skilled in the art.

Scheme 1, for example, outlines the synthesis of derivatives of formula (I) carrying a group QM, wherein M contains an oxygen atom adjacent the basic ring system and Q is an N-protected Z-$(CH_2)_p$-NR^6- group in which R^6 represents hydrogen.

Scheme 1

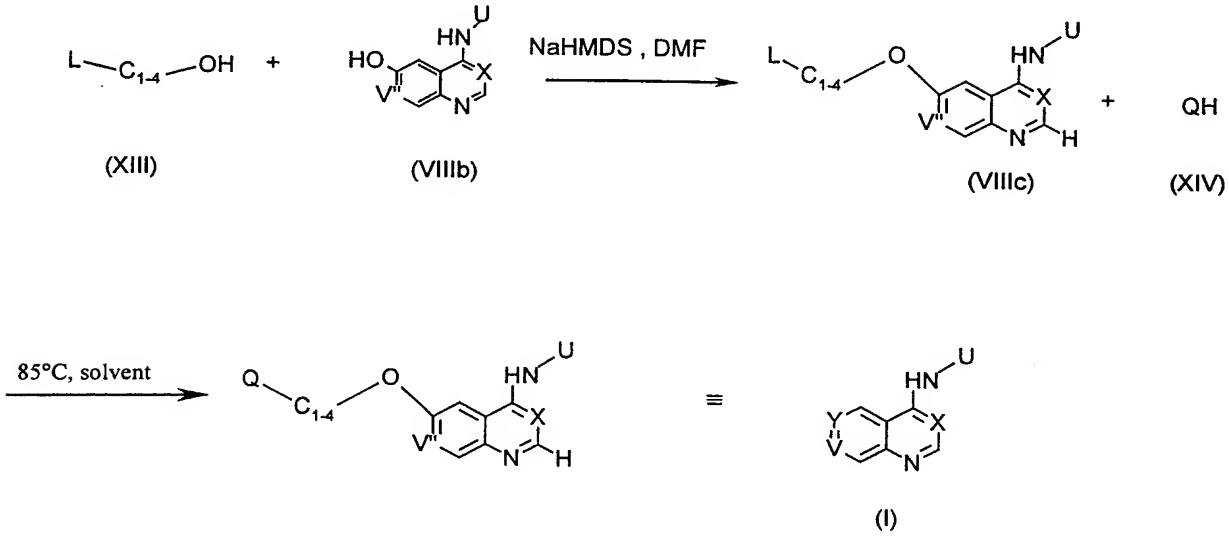


wherein V, V", X, Y, U, Z, L and p are as defined above. P' is preferably a CF_3CO group and deprotection (by MeOH, NaOH) is illustrated in General Procedure E; L is preferably bromo.

As a further alternative process, the compounds of formula (I) may be prepared by first alkylating the hydroxy- or thio-substituted intermediates of formula (VIII) with a relevant alkylating agent containing a suitable leaving group (such as a haloalcohol) according to General Procedure C; followed by reaction of the resulting alkylated-

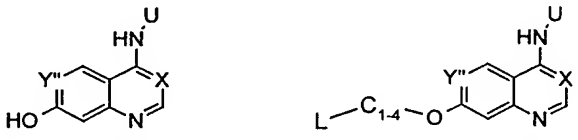
bicyclic species (VIIIc) with a suitable amine as illustrated in General Procedure F. An example of such a process is outlined in Scheme 2 below.

Scheme 2



wherein V, V", X, Y, U, Q, L and p are as defined above.

Analogous processes to those described in Schemes 1 and 2 above may be used to prepare compounds of formula (I) which carry R^1 in the 7-position of the basic ring system, for example, via compounds of formula (VIIId) and formula (VIIIe).



For compounds of formula (I) in which M contains a heteroatom which is not adjacent the basic ring system combinations of techniques similar to those described above would be used to prepare a compound of formula (II), (IV), (VI) or (VIII) which carries either a terminal OHC group or a suitable leaving group L' in the side chain prior to reaction with a reagent to introduce the group Q, for example with a

compound of formula QH. Care will need to be taken in utilising reductive aminations if the substrate has a nitrogen atom in the M group; this would have to be a tertiary N atom or would need to be appropriately protected to prevent it from taking part in the reductive amination itself.

Appropriate processes for preparing all of the relevant starting materials and intermediate compounds of formulae (II), (IV), (VI), (VIII), (IX), (X), (XI), (XII), (XIII) and (XIV) will thus be well-known to the person skilled in the art. Further possibilities are referred to in WO97/30034, WO97/30035 and WO97/22596.

Alternatively, for the preparation of those compounds of formula (I) in which Q contains an $S(O)_m$ functionality another suitable process may comprise oxidation of a compound (of formula (VIIIf)) containing a corresponding thio functionality. For example, to prepare a compound of formula (I) in which Q is a substituent of formula $CH_3SO_2CH_2CH_2NH$ one could oxidise the compound bearing the corresponding substituent $CH_3SCH_2CH_2NH$. Suitable methods for the oxidation to the desired compound of formula (I) will be well known to the person skilled in the art but include, for example, reaction with an organic peroxide, such as peracetic acid or metachlorobenzoic acid, or reaction with an inorganic oxidising agent, such as OXONE®. The preparation of a sulphoxide compound of formula (I) from the corresponding thio compound requires careful conditions to control the oxidation state of the product; in general one uses only one equivalent of the relevant oxidising agent and the temperature of the reaction is kept low.

The compound of formula (VIIIf) as defined above may be prepared by analogous reactions to those described above used to prepare the compounds of formula (I). For example, one could use similar methods to prepare a compound carrying a side chain of formula $CH_3SCH_2CH_2NH_2$-M-.

A sulphoxide compound of formula (I) may, of course, be further oxidised to a sulphone compound of formula (I) by analogous methods.

Alternatively, an analogous scheme to those described above could be used wherein the substitution of the group R^1 onto the basic ring system occurs prior to the coupling reaction with the compound of formula (III).

In general, the group R^2 will be present as a substituent in the basic ring system prior to the introduction of the group R^1 or the group NHU. Where R^2 is other than hydrogen it may in certain circumstances be necessary to protect the group prior to performing the reaction steps to introduce the R^1 and NHU substituents. Suitable protecting groups, methods for their introduction and methods for their removal would be well known to the person skilled in the art. For a description of protecting groups and their use see T.W. Greene and P.G.M. Wuts, "Protective Groups in Organic Synthesis", 2nd edn., John Wiley & Sons, New York, 1991. Particular mention should be made of the situation where R^2 is hydroxy; suitable protecting groups to ensure non-interference with the subsequent reaction steps include the 2-methoxyethoxymethyl ether (MEM) group or a bulky silyl protecting group such as tert-butyldiphenylsilyl (TBDPS).

Suitable leaving groups for L and L' will be well known to those skilled in the art and include, for example, halo such as fluoro, chloro, bromo and iodo; sulphonyloxy groups such as methanesulphonyloxy and toluene-p-sulphonyloxy; alkoxy groups; and triflate.

The coupling reaction referred to above with the compound of formula (III) is conveniently carried out in the presence of a suitable inert solvent, for example a C_{1-4} alkanol, such as isopropanol, a halogenated hydrocarbon, an ether, an aromatic hydrocarbon or a dipolar aprotic solvent such as acetone, acetonitrile or DMSO at a non-extreme temperature, for example from 0 to 150°C, suitably 10 to 120°C, preferably 50 to 100°C.

Optionally, the reaction is carried out in the presence of a base. Examples of suitable bases include an organic amine such as triethylamine, or an alkaline earth metal carbonate, hydride or hydroxide, such as sodium or potassium carbonate, hydride or hydroxide.

The compound of formula (I) may be obtained from this process in the form of a salt with the acid HL, wherein L is as hereinbefore defined, or as the free base by treating the salt with a base as hereinbefore defined.

The compounds of formulae (II) and (III) as defined above, the reagents to substitute the group R^1, and the reagent(s) to convert the group T into the group R^1 are either readily available or can be readily synthesised by those skilled in the art using conventional methods of organic synthesis.

As indicated above, the compound of formula (I) prepared may be converted to another compound of formula (I) by chemical transformation of the appropriate substituent or substituents using appropriate chemical methods (see for example, J.March "Advanced Organic Chemistry", Edition III, Wiley Interscience, 1985).

For example, a compound containing an alkylthio group may be oxidised to the corresponding sulphinyl or sulphonyl compound by use of an organic peroxide (e.g. benzoyl peroxide) or suitable inorganic oxidant (eg OXONE ®).

A compound containing a nitro substituent may be reduced to the corresponding amino-compound, e.g. by use of hydrogen and an appropriate catalyst (if there are no other susceptible groups), by use of Raney Nickel and hydrazine hydrate or by use of iron/acetic acid.

Amino substituents may be acylated by use of an acid chloride or an anhydride under appropriate conditions. Equally an amide group may be cleaved to the amino compound by treatment with, for example, dilute aqueous base.

An amino substituent may also be converted to a dimethylamino substituent by reaction with formic acid and sodium cyanoborohydride. Similarly, reaction of a primary or secondary amino group with another suitable aldehyde under reducing conditions will lead to the corresponding substituted amine.

All of the above-mentioned chemical transformations may also be used to convert any relevant intermediate compound to another intermediate compound prior to the final reaction to prepare a compound of formula (I); this would thus include their use to convert one compound of formula (III) to a further compound of formula (III) prior to any subsequent reaction.

Various intermediate compounds used in the above-mentioned processes, including but not limited to certain of the compounds of formulae (II), (III), (IV), (V), (VI), (VII), (VIII), (XII) and (XIV) as illustrated above, are novel and thus represent a further aspect of the present invention.

In particular, a further aspect of the present invention is intermediate compounds of formulae (VIIIa) defined above.

In particular, a yet further aspect of the present invention is intermediate compounds of formula (XIV) as defined above.

The compounds of formula (I) and salts thereof have anticancer activity as demonstrated hereinafter by their inhibition of the protein tyrosine kinase c-erbB-2, c-erbB-4, and/or EGF-r enzymes and their effect on selected cell lines whose growth is dependent on c-erbB-2 or EGF-r tyrosine kinase activity.

The present invention thus also provides compounds of formula (I) and pharmaceutically acceptable salts or solvates thereof for use in medical therapy, and particularly in the treatment of disorders mediated by aberrant protein tyrosine kinase activity such as human malignancies and the other disorders mentioned above. The compounds of the present invention are especially useful for the treatment of disorders caused by aberrant c-erbB-2 and/or EGF-r such as breast, ovarian, gastric, pancreatic, non-small cell lung, bladder, head and neck cancers and psoriasis.

A further aspect of the invention provides a method of treatment of a human or animal subject suffering from a disorder mediated by aberrant protein tyrosine kinase activity, including susceptible malignancies, which comprises administering to said subject an effective amount of a compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof.

A further aspect of the present invention provides the use of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, in therapy.

A further aspect of the present invention provides the use of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, in the preparation of a medicament for the treatment of cancer and malignant tumours.

A further aspect of the present invention provides the use of a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, in the preparation of a medicament for the treatment of psoriasis.

Whilst it is possible for the compounds, salts or solvates of the present invention to be administered as the new chemical, it is preferred to present them in the form of a pharmaceutical formulation.

According to a further feature of the present invention there is provided a pharmaceutical formulation comprising at least one compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, together with one or more pharmaceutically acceptable carriers, diluents or excipients.

Pharmaceutical formulations may be presented in unit dose forms containing a predetermined amount of active ingredient per unit dose. Such a unit may contain for example 0.5mg to 1g, preferably 70mg to 700mg, more preferably 5mg to 100mg of a compound of the formula (I) depending on the condition being treated, the route of administration and the age, weight and condition of the patient.

Pharmaceutical formulations may be adapted for administration by any appropriate route, for example by the oral (including buccal or sublingual), rectal, nasal, topical (including buccal, sublingual or transdermal), vaginal or parenteral (including subcutaneous, intramuscular, intravenous or intradermal) route. Such formulations may be prepared by any method known in the art of pharmacy, for example by bringing into association the active ingredient with the carrier(s) or excipient(s).

Pharmaceutical formulations adapted for oral administration may be presented as discrete units such as capsules or tablets; powders or granules; solutions or suspensions in aqueous or non-aqueous liquids; edible foams or whips; or oil-in-water liquid emulsions or water-in-oil liquid emulsions.

Pharmaceutical formulations adapted for transdermal administration may be presented as discrete patches intended to remain in intimate contact with the epidermis of the recipient for a prolonged period of time. For example, the active ingredient may be delivered from the patch by iontophoresis as generally described in Pharmaceutical Research, 3(6), 318 (1986).

Pharmaceutical formulations adapted for topical administration may be formulated as ointments, creams, suspensions, lotions, powders, solutions, pastes, gels, sprays, aerosols or oils.

For treatments of the eye or other external tissues, for example mouth and skin, the formulations are preferably applied as a topical ointment or cream. When formulated in an ointment, the active ingredient may be employed with either a paraffinic or a water-miscible ointment base. Alternatively, the active ingredient may be formulated in a cream with an oil-in-water cream base or a water-in-oil base.

Pharmaceutical formulations adapted for topical administrations to the eye include eye drops wherein the active ingredient is dissolved or suspended in a suitable carrier, especially an aqueous solvent.

Pharmaceutical formulations adapted for topical administration in the mouth include lozenges, pastilles and mouth washes.

Pharmaceutical formulations adapted for rectal administration may be presented as suppositories or as enemas.

Pharmaceutical formulations adapted for nasal administration wherein the carrier is a solid include a coarse powder having a particle size for example in the range 20 to 500 microns which is administered in the manner in which snuff is taken, i.e. by rapid inhalation through the nasal passage from a container of the powder held close up

to the nose. Suitable formulations wherein the carrier is a liquid, for administration as a nasal spray or as nasal drops, include aqueous or oil solutions of the active ingredient.

Pharmaceutical formulations adapted for administration by inhalation include fine particle dusts or mists which may be generated by means of various types of metered dose pressurised aerosols, nebulizers or insufflators.

Pharmaceutical formulations adapted for vaginal administration may be presented as pessaries, tampons, creams, gels, pastes, foams or spray formulations.

Pharmaceutical formulations adapted for parenteral administration include aqueous and non-aqueous sterile injection solutions which may contain anti-oxidants, buffers, bacteriostats and solutes which render the formulation isotonic with the blood of the intended recipient; and aqueous and non-aqueous sterile suspensions which may include suspending agents and thickening agents. The formulations may be presented in unit-dose or multi-dose containers, for example sealed ampoules and vials, and may be stored in a freeze-dried (lyophilized) condition requiring only the addition of the sterile liquid carrier, for example water for injections, immediately prior to use. Extemporaneous injection solutions and suspensions may be prepared from sterile powders, granules and tablets.

Preferred unit dosage formulations are those containing a daily dose or sub-dose, as herein above recited, or an appropriate fraction thereof, of an active ingredient.

It should be understood that in addition to the ingredients particularly mentioned above, the formulations may include other agents conventional in the art having regard to the type of formulation in question, for example those suitable for oral administration may include flavouring agents.

The animal requiring treatment with a compound, salt or solvate of the present invention is usually a mammal, such as a human being.

A therapeutically effective amount of a compound, salt or solvate of the present invention will depend upon a number of factors including, for example, the age and

weight of the animal, the precise condition requiring treatment and its severity, the nature of the formulation, and the route of administration, and will ultimately be at the discretion of the attendant physician or veterinarian. However, an effective amount of a compound of the present invention for the treatment of neoplastic growth, for example colon or breast carcinoma, will generally be in the range of 0.1 to 100 mg/kg body weight of recipient (mammal) per day and more usually in the range of 1 to 10 mg/kg body weight per day. Thus, for a 70kg adult mammal, the actual amount per day would usually be from 70 to 700 mg and this amount may be given in a single dose per day or more usually in a number (such as two, three, four, five or six) of sub-doses per day such that the total daily dose is the same. An effective amount of a salt or solvate of the present invention may be determined as a proportion of the effective amount of the compound per se. It is envisaged that similar dosages would be appropriate for treatment of the other conditions referred to above.

The compounds of the present invention and their salts and solvates may be employed alone or in combination with other therapeutic agents for the treatment of the above-mentioned conditions. In particular, in anti-cancer therapy, combination with other chemotherapeutic, hormonal or antibody agents is envisaged. Combination therapies according to the present invention thus comprise the administration of at least one compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof and at least one other pharmaceutically active agent. The compound(s) of formula (I) and the other pharmaceutically active agent(s) may be administered together or separately and, when administered separately this may occur simultaneously or sequentially in any order. The amounts of the compound(s) of formula (I) and the other pharmaceutically active agent(s) and the relative timings of administration will be selected in order to achieve the desired combined therapeutic effect.

Certain embodiments of the present invention will now be illustrated by way of example only. The physical data given for the compounds exemplified is consistent with the assigned structure of those compounds.

^{1}H NMR spectra were obtained at 500MHz on a Bruker AMX500 spectrophotometer, on a Bruker spectrophotometer at 300Mz, or on a Bruker AC250 or Bruker AM250

spectrophotometer at 250MHz. J values are given in Hz. Mass spectra were obtained on one of the following machines: VG Micromass Platform (electrospray positive or negative), HP5989A Engine (thermospray positive) or Finnigan-MAT LCQ (ion trap) mass spectrometer. Analytical thin layer chromatography (tlc) was used to verify the purity of some intermediates which could not be isolated or which were too unstable for full characterisation, and to follow the progress of reactions. Unless otherwise stated, this was done using silica gel (Merck Silica Gel 60 F254). Unless otherwise stated, column chromatography for the purification of some compounds used Merck Silica gel 60 (Art. 1.09385, 230-400 mesh), and the stated solvent system under pressure.

Petrol refers to petroleum ether, either the fraction boiling at 40-60°C, or at 60-80°C.
Ether refers to diethylether.
DMSO refers to dimethylsulphoxide.
THF refers to tetrahydrofuran.
TEA refers to triethylamine.
HPLC refers to high pressure liquid chromatography.

Useful preparative techniques are described in WO96/09294, WO97/03069, WO97/13771, WO95/19774, WO96/40142 and WO97/30034; also described in these publications are appropriate intermediate compounds other than those detailed below.

Preparation processes specified in the prior art or in the experimental details below for compounds with a particular basic ring system (1) to (6) above may be suitably adapted for others of these basic ring systems.

General Procedures

(A) Reaction of an amine with a bicyclic species containing a 4-chloropyrimidine or 4-chloropyridine ring

The optionally substituted bicyclic species and the specified amine were mixed in an appropriate solvent (typically acetonitrile unless otherwise specified, although ethanol, 2-propanol or DMSO may also be used), and heated to reflux. When the reaction was complete (as judged by tlc), the reaction mixture was allowed to cool.

The resulting suspension was diluted, *e.g.* with acetone, and the solid collected by filtration, washing *e.g.* with excess acetone, and dried at 60°C *in vacuo*, giving the product as the hydrochloride salt. If the free base was required (*e.g.* for further reaction), this was obtained by treatment with a base *e.g.* triethylamine; purification by chromatography was then performed if required.

(B) Reaction of an aldehyde with an amine by reductive amination

An aldehyde and the required primary or secondary amine were stirred together in a suitable solvent (such as dichloromethane) containing glacial acetic acid (4Å molecular sieves may also be present) for ca. 1h. A suitable reducing agent, such as sodium (triacetoxy)borohydride was then added and stirring continued under nitrogen until the reaction was complete (as judged by hplc or tlc). The resulting mixture was washed with an aqueous basic solution (e.g. sodium or potassium carbonate) and extracted with a suitable solvent, e.g. dichloromethane. The dried organic phase was evaporated and the residue purified either by column chromatography or by Bond Elut™ cartridge. If desired, the isolated material was converted into the hydrochloride salt, e.g. by treatment with ethereal hydrogen chloride.

(C) Reaction of an alkylating agent with 4-substituted-6-hydroxy-bicyclic species

To a solution of the optionally substituted 6-hydroxybicyclic species in a suitable solvent (such as DMF) was added a strong base, for example NaHMDS, dropwise and the mixture was stirred for 20 minutes at room temperature under nitrogen. The solution containing the formed anion was then added to a solution of the optionally substituted alkylating agent, for example an alkyl bromide in a suitable solvent (typically DMF), slowly over a period of 40 minutes. The resulting mixture was allowed to stir under nitrogen at room temperature for 1 h and then concentrated *in vacuo*. The residue was dissolved in a suitable solvent , e.g. ethyl acetate and diluted with water. The aqueous layer was extracted with a suitable solvent , e.g. ethyl acetate and the combined extracts were washed with water, brine, and dried over anhydrous magnesium sulfate. Filtration through Celite™ and removal of volatiles in vacuo gave the crude material which was purified by column chromatography.

(D) Hydrolysis of Acetic Esters

An aqueous basic solution, e.g., ammonium hydroxide solution was added to a solution of the relevant acetic ester in a suitable solvent (such as methanol) and the mixture was heated at 90° C for 3 h. The reaction mixture was then cooled to room temperature and filtered. The white solid was washed with an organic solvent, such as diethyl ether.

(E) Hydrolysis of trifluoroacetic amides

The optionally substituted trifluoroacetic amide was dissolved in a suitable solvent mixture, such as methanol / NaOH and the resulting mixture stirred at room temperature for 1 h. The reaction mixture was concentrated to one-third volume under reduced pressure and then diluted with an appropriate solvent, e.g. dichloromethane. The aqueous layer was extracted with a suitable solvent , e.g. methylene chloride and the combined organic layers were washed with water and brine, and dried over anhydrous potassium carbonate. The solution was filtered through Celite™ and concentrated in vacuo to yield the desired product.

(F) Reaction of an amine with an optionally substituted 6-(3-halo C_{1-4} alkoxy)bicyclic species

A mixture of a substituted 6-(3-halo C_{1-4} alkoxy)bicyclic species (0.1 mmol) and the appropriate amine (0.3 mmol) in DMF (1.5 mL) was heated to 85 °C for 14 h and then cooled to room temperature. The reaction mixture was concentrated *in vacuo* and diluted with methylene chloride (10 mL) and water (5 mL). The aqueous layer was extracted with methylene chloride (3 x 5 mL) and the combined organic layers were washed with water and brine, and dried over anhydrous potassium carbonate. Filtration through Celite™ and concentration in vacuo gave the crude material which was purified by column chromatography (silica gel, 1%TEA/ EtOAc) to yield the desired product.

Synthesis of Intermediates

N-5-[*N*-*tert*-Butoxycarbonyl)amino]-2-chloropyridine

A stirred solution of 6-chloronicotinic acid (47.3g), diphenylphosphoryl azide (89.6g) and triethylamine (46ml) in t-butanol (240ml) were heated under reflux under nitrogen for 2.5 h. The solution was cooled and concentrated *in vacuo*. The syrupy residue was poured into 3 litres of a rapidly stirred solution of 0.33N aqueous sodium

carbonate. The precipitate was stirred for 1 h and filtered. The solid was washed with water and dried *in vacuo* at 70°C to give the title compound (62g) as a pale brown solid; m.p. 144-146°C; δH [$^2H_6$]-DMSO 8.25(1H,d), 7.95 (1H, bd), 7.25 (1H, d), 6.65(1H, bs), 1.51 (9H,s); m/z $(M + 1)^+$ 229.

This material may subsequently be carried forward to the appropriately substituted pyridopyrimidine intermediate according to the procedures as described in WO95/19774, J. Med. Chem., 1996, 39, pp 1823-1835, and J. Chem. Soc., Perkin Trans. 1, 1996, pp 2221-2226. Specific compounds made by such procedures include 6-chloro-pyrido[3,4-d]pyrimidin-4-one and 4,6-dichloro-pyrido[3,4-d]-pyrimidine.

4-Chloro-6-bromoquinazoline and 4-chloro-6-iodoquinazoline were prepared as described in WO 96/09294.

1-Benzyl-5-nitro-1H-indole

Dry dimethylsulphoxide (20 ml) was added to potassium hydroxide (4.2 g, 0.074 mol) (crushed pellets) and the mixture was stirred under nitrogen for 5 mins. 5-Nitroindole (commercially available) (3.0 g, 0.019 mol) was then added and the red mixture stirred for 30 min at room temperature. The mixture was then cooled to -10 °C, benzyl bromide (4.4 ml, 0.037 mol) was slowly added and the mixture stirred and allowed to warm to room temperature over a period of 40 mins. Water (50 ml) was then added and the mixture was extracted with diethyl ether (2 x 200 ml). The extracts were washed with water (4 x 50 ml), dried over sodium sulphate and evaporated to leave an oily solid. The excess benzyl bromide was removed by dissolving the whole in diethyl ether (50 ml), diluting this solution with 40-60 petrol (50 ml) and then gradually removing the diethyl ether *in vacuo* to leave a yellow solid suspended in the petrol. The solid was filtered, washed with copious amounts of 40-60 petrol and dried to give 1-benzyl-5-nitroindole (2.4 g, 51%) as a yellow solid, m.p. 102-104 °C; δH [$^2H_6$]-DMSO 8.53 (1H, s, 4-H), 8.00 (1H, d, J 9, 6-H), 7.78 (1H, s, 2-H), 7.68 (1H, d, J 9, 7-H), 7.36-7.20 (5H, m, 2'-H, 3'-H, 4'-H, 5'-H, 6'-H), 6.81 (1H, s, 3-H), 5.52 (2H, s, CH_2).

5-Amino-1-benzyl-1H-indole

A solution of 1-benzyl-5-nitroindole (0.51 g, 0.02 mol) in a mixture of ethyl acetate (25 ml) and methanol (25 ml) was carefully added to 10% palladium on charcoal (45 mg). The resulting suspension was stirred at room temperature under an atmosphere of hydrogen. When the reaction was complete (indicated by tlc or calculated uptake of hydrogen) the suspension was filtered through a pad of Hyflo™, and the filtrate evaporated to dryness to give 5-amino-1-benzylindole (0.40 g, 91%) as an off-white solid; m.p. 66-68 °C; δH [$^2H_6$]-DMSO 7.30-7.12 (6H, m, 2-H, 2"-H, 3"-H, 4"-H, 5"-H, 6"-H), 7.08 (1H, d, J 8, 7-H), 6.70 (1H, s, 4-H), 6.49 (1H, d, J 8, 6-H), 6.18 (1H, s, 3-H), 5.28 (2H, s, CH_2), 4.38 (2H, bs, NH_2).

2-Benzyl-5-nitro-1H-benzimidazole

A mixture of 4-nitro-*o*-phenylene diamine (1.54g) and phenylacetic acid (2.04g) in 5N aqueous HCl (16ml) were heated at 110 °C under nitrogen for 22 h. The mixture was cooled to room temperature and the accumulated black solid collected by filtration. This crude residue was then adsorbed onto silica and chromatographed to give the title compound (0.84g) as a purple foam; δH $CDCl_3$ 9.70 (1H, bs), 8.15 (1H, d), 7.30 (7H, m), 4.30 (2H,s); m/z $(M + 1)^+$ 254.

5-Amino-2-benzyl-1H-benzimidazole

The title compound was prepared from 5-nitro-2-benzylbenzimidazole by an analogous reduction method to that described above for 5-amino-1-benzyl-1H-indole; m/z $(M + 1)^+$ 224. Also note the published method (J. Het. Chem., 23, 1109-13, (1986)).

1-*N*-Benzyl-5-nitro-1H-indazole and 2-*N*-Benzyl-5-nitro-1H-indazole

A stirred mixture of 5-nitroindazole (50g), potassium carbonate (46.6g, 1.1 equiv.) and benzyl bromide (57.6g, 1.1 equiv) in *N,N*-dimethylformamide (500 ml) was heated at 75°C for a period of 4 h. The reaction was then cooled and water (500ml) was gradually added to precipitate the product which was filtered off and washed with water (50ml) and dried in the air at ambient temperature. The weight of pale yellow solid thus obtained was 72.3g (93%), m.p. 95-97°C; HPLC (Partisil 5, dichloromethane, 4ml/min, 250nm) gave an isomer ratio (1-*N*-benzyl : 2-*N*-benzyl) of 63:37 (RT-1*N* 3.4min, RT-2*N* 6.6min). To a filtered solution of the mixed regioisomers (100g) in acetone (470ml) at room temperature was added, gradually with stirring, water (156ml) and the mixture was stirred for 1 h. The resultant yellow

crystalline solid was filtered off and dried in the air at ambient temperature to give 36.4g (34%) of material; m.p.124-126°C; HPLC showed an isomer ratio (1-*N*-benzyl : 2-*N*-benzyl) of 96:4; δH ($CDCl_3$) 5.58 (2H,s,CH_2), 7.12-7.15(2H) & 7.22-7.29(3H)-(phenyl), 7.33(1H,dt, J 1Hz & 9Hz, H-7), 8.15(1H,dd, J 2Hz & 9Hz,H-6), 8.19(1H,d, J 1Hz,H-3), 8.67 (1H,dd, J 1 & 2Hz, H-4).

Also note the published method in FR 5600, 8 January 1968.

5-Amino-1-*N*-benzyl-1H-indazole

1-Benzyl-5-nitroindazole (400g) was suspended in ethanol (5 litre) and hydrogenated in the presence of 5% platinum on carbon catalyst (20g) operating at 1 bar pressure and 50-60°C. When hydrogen uptake was complete the reactor contents were heated to 70°C, discharged and filtered while still hot and the filtrate concentrated to ~4 litre which caused some crystallisation. Water (4 litre) was then gradually added with stirring and the mixture was stirred at 5°C overnight. The resultant crystals were filtered off and air-dried at ambient temperature to give 305g (86%) of material, m.p.150-152°C; HPLC (Supelcosil ABZ +, gradient 0.05% trifluoroacetic acid in water/0.05% trifluoroacetic acid in acetonitrile,1.5ml/min, 220nm) showed <1% of the corresponding 2-*N*-isomer (RT-1*N* 6.03min, RT-2*N* 5.29min); δH ($CDCl_3$) 3.3-3.8(2H,broad s,NH_2), 5.47 (2H,s,CH_2), 6.74(1H,dd,J 2 & 9Hz,H-6), 6.87(1H,dd,J 1 & 2Hz,H-4), 7.06- 7.11(3H) & 7.17-7.25(3H)-(phenyl & H-7), 7.77(1H,d,J 1Hz,H-3).

Also note the published method in FR 5600, 8 January 1968.

1-Benzyl-3-methyl-5-nitro-1H-indazole

2-Fluoro-5-nitroacetophenone (H. Sato et al, Bioorganic and Medicinal Chemistry Letters, 5(3), 233-236, 1995) (0.24g) was treated with triethylamine (0.73ml)and benzyl hydrazine dihydrochloride (0.255g) in ethanol (20ml) at reflux under N_2 for 8 days. The mixture was cooled and the solid 1-benzyl-3-methyl-5-nitroindazole (0.16g) was collected by filtration; m/z $(M+1)^+$ 268.

1-Benzyl-3-methyl-1H-indazol-5-ylamine

1-Benzyl-3-methyl-5-nitroindazole (0.15g) in THF (15ml) was treated with platinum on carbon (0.05g, 5%) under an atmosphere of hydrogen at room temperature.

When hydrogen uptake was complete, the mixture was filtered and concentrated *in vacuo* to give the title compound; m/z $(M+1)^+$ 268.

Further amino-indazole intermediates

The relevant nitro-substituted 1H-indazole was treated with a base such as potassium carbonate or sodium hydroxide in a suitable solvent, such as acetone or acetonitrile. The appropriate aryl halide or heteroaryl halide was added and the reaction mixture heated or stirred at room temperature overnight. Subsequent concentration *in vacuo* and chromatography on silica gave the desired 1-substituted nitro-1H-indazoles. Hydrogenation was carried out by analogy with the preparation of 5-amino-1-benzyl-1H-indole described above.

Amines prepared by such methods include:-
5-Amino-1-benzyl-1H-indazole; m/z $(M+1)^+$ 224
5-Amino-1-(2-fluorobenzyl)-1H-indazole; m/z $(M+1)^+$ 242
5-Amino-1-(3-fluorobenzyl)-1H-indazole; m/z $(M+1)^+$ 242
5-Amino-1-(4-fluorobenzyl)-1H-indazole; m/z $(M+1)^+$ 242
5-Amino-1-(2-pyridylmethyl)-1H-indazole; m/z $(M+1)^+$ 225
5-Amino-1-(3-pyridylmethyl)-1H-indazole; m/z $(M+1)^+$ 225
5-Amino-1-(4-pyridylmethyl)-1H-indazole; m/z $(M+1)^+$ 225
5-Amino-1-(2,3-difluorobenzyl)-1H-indazole; m/z $(M+1)^+$ 260
5-Amino-1-(3,5-difluorobenzyl)-1H-indazole; m/z $(M+1)^+$ 260.

1-Benzenesulphonylindol-5-yl-amine was prepared according to the published method (J. Org. Chem., 55, 1379-90, (1990)).

3-Benzenesulphonylindol-6-yl-amine
3-Benzenesulphonyl-6-nitroindole (K. Wojciechowski and M Makosza, Tet. Lett., 25 (42), p4793, 1984) was hydrogenated by analogy with the procedures above to give the title compound; δH $[^2H_6]$DMSO 11.64 (1H,s), 7.94 (2H,m), 7.81 (1H,s), 7.57 (3H,m), 7.49(1H,d), 6.60(1H,s), 6.55 (1H,dd), 5.40 (2H,s).

4-Benzyloxyaniline is commercially available as the hydrochloride salt; this is treated with aqueous sodium carbonate solution, and the mixture extracted with ethyl

acetate; the organic solution is dried ($MgSO_4$) and concentrated to give the free base as a brown solid, used without further purification.

Other substituted anilines were in general prepared by analogous methods to those outlined in WO 96/09294 and/or as follows:

Step 1: Preparation of the precursor nitro-compounds
4-Nitrophenol (or an appropriate substituted analogue, such as 3-chloro-4-nitrophenol) was treated with a base such as potassium carbonate or sodium hydroxide in an appropriate solvent, such as acetone or acetonitrile. The appropriate aryl or heteroaryl halide was added and the reaction mixture heated or stirred at room temperature overnight.
Purification A: Most of the acetonitrile was removed *in vacuo*, and the residue was partitioned between water and dichloromethane. The aqueous layer was extracted with further dichloromethane (x 2), and the combined dichloromethane layers were concentrated *in vacuo*.
Purification B: removal of insoluble material by filtration, followed by concentration of the reaction mixture *in vacuo,* and chromatography on silica.

Step 2: Reduction to the corresponding aniline
The precursor nitro compound was reduced by catalytic hydrogenation at atmospheric pressure using 5%Pt/carbon, in a suitable solvent (eg ethanol, THF, or mixtures thereof to promote solubility). When reduction was complete, the mixture was filtered through Harborlite™, washing with excess solvent, and the resulting solution concentrated *in vacuo* to give the desired aniline. In some cases, the anilines were acidified with HCl (e.g. in a solution in dioxane) to give the corresponding hydrochloride salt.

Anilines prepared by such methods include:
4-(2-Fluorobenzyloxy)aniline; m/z $(M+1)^+$ 218
4-(3-Fluorobenzyloxy)aniline; m/z $(M+1)^+$ 218
4-(4-Fluorobenzyloxy)aniline; m/z $(M+1)^+$ 218
3-Chloro-4-(2-fluorobenzyloxy)aniline; m/z $(M+1)^+$ 252
3-Chloro-4-(3-fluorobenzyloxy)aniline; m/z $(M+1)^+$ 252
3-Chloro-4-(4-fluorobenzyloxy)aniline; m/z $(M+1)^+$ 252

4-(Pyridyl-2-methoxy)aniline; m/z $(M+1)^+$ 201
4-(Pyridyl-4-methoxy)aniline; m/z $(M+1)^+$ 201
4-(Pyridyl-3-methoxy)aniline; m/z $(M+1)^+$ 201
4-Benzyloxy-3-chloroaniline; m/z $(M+1)^+$ 234
and, in appropriate cases, their hydrochloride salts.

4-Benzenesulphonylaniline was prepared by the published method (Helv. Chim. Acta., 1983, 66(4), p1046.

(1-Benzyl-1H-indazol-5-yl)-(6-chloropyrido[3,4-d]pyrimidin-4-yl)-amine hydrochloride
Prepared according to Procedure A from 1-benzyl-1H-indazol-5-ylamine (1 equiv) and 4,6-dichloropyrido[3,4-d]pyrimidine (1 – 1.3 equivs); δH [$^2H_6$]-DMSO 9.08 (1H,s), 8.92 (1H,s), 8.82 (1H,s), 8.23 (1H,d), 8.19 (1H,s), 7.80 (1H,d), 7.70 (1H,dd), 7.38-7.22 (5H,m), 5.69 (2H,s); *m/z* 387 $(M + 1)^+$.

(1-Benzyl-1H-indol-5-yl)-(6-chloro-pyrido[3,4-d]pyrimidin-4-yl)-amine hydrochloride
Prepared according to Procedure A from 1-benzyl-1H-indol-5-ylamine (1 equiv) and 4,6-dichloro-pyrido[3,4-d]pyrimidine (1 - 1.3 equivs); δH [$^2H_6$]DMSO 11.45(1H,s), 9.08(1H,s), 8.95(1H,s), 8.80(1H,s), 7.98(1H,d), 7.60(2H,m), 7.30(6H,m), 6.60(1H,d), 5.48(2H,s); *m/z* 386 $(M+1^+)$.

(2-Benzyl-1H-benzimidazol-5-yl)-(6-chloro-pyrido[3,4-d]pyrimidin-4-yl)-amine
Prepared according to Procedure A from 5-amino-2-benzyl-1H-benzimidazole (1 equiv) and 4,6-dichloro-pyrido[3,4-d]pyrimidine (1 – 1.3 equivs); δH [$^2H_6$]-DMSO 9.13(1H,s), 8.93(1H,s), 8.84(1H,s), 8.60(1H,s), 8.05(1H,dd), 7.88(2H,d), 7.50(6H, m), 4.61(2H,s); *m/z* 387 $(M + 1)^+$.

(4-Benzyloxyphenyl)-(6-chloro-pyrido[3,4-d]pyrimidin-4-yl)-amine
Prepared according to Procedure A from 4-benzyloxyaniline (1 equiv) and 4,6-dichloro-pyrido[3,4-*d*]pyrimidine (1 – 1.3 equiv); δH ($CDCl_3$) 9.11 (1H,s), 8.78 (1H,s), 7.75 (1H,d), 7.56 (2H,dd), 7.40 (5H,m), 7.15 (2H,d), 5.10 (2H,s); *m/z* 409 $(M + 1)^+$.

(4-Benzyloxyphenyl)-(6-bromoquinazolin-4-yl)-amine hydrochloride
4-Chloro-6-bromoquinazoline (0.25g, 1.0mmol) and 4-benzyloxyaniline (0.25g, 1.3mmol) were mixed in 2-propanol (6ml) and heated at reflux for 10 mins

(Procedure A). The solution was allowed to cool at room temperature and the 2-propanol removed *in vacuo*. The resulting solid was triturated with acetone to give the product as a yellow solid (0.39g, 88%); δH [$^2H_6$]-DMSO 11.60 (1H, b, NH), 9.21 (1H, s, 5-H), 8.86 (1H, s, 2-H), 8.20 (1H, d, 7-H), 7.90 (1H, d, 8-H), 7.65 (2H, d, 2'-H, 6'-H), 7.50-7.25 (5H, m, Ph-H), 7.10 (2H, d, 3'-H, 5'-H), 5.15 (2H, s, CH_2); *m/z* 405/407 (M+).

(4-Benzyloxyphenyl)-(6-(3-bromopropoxy)quinazolin-4-yl amine

Prepared according to Procedure C from 4-benzyloxyphenyl-6-hydroxyquinazolin-4-yl amine (1 equiv) and 3-bromopropanol (1 equiv); δH (DMSO) 9.57 (s, 1H), 8.38 (s, 1H), 7.91 (d, 1H), 7.62-7.68 (m, 3H), 7.43-7.47 (m, 2H), 7.37 (m, 2H), 7.30 (m, 1H), 7.02 (d, 2H), 5.09 (s, 2H), 4.23 (m, 2H), 3.71 (m, 2H), 2.32 (m, 2H); MS *m/z* 466 $(M+1)^+$.

(4-Benzyloxyphenyl)-(6-iodoquinazolin-4-yl)-amine hydrochloride

4-Chloro-6-iodoquinazoline (8g) was treated with 4-benzyloxyaniline (5.5g) in acetonitrile (500ml) at reflux under N_2 for 18 hours. Subsequent cooling and filtration gave the title compound (13.13g); δH [$^2H_6$]-DMSO 11.45 (1H, b, NH), 9.22 (1H, s, 5-H), 8.89 (1H, s, 2-H), 8.36 (1H, d, 7-H), 7.69 (1H, d, 8-H), 7.63 (2H, d, 2'-H, 6'-H), 7.52-7.29 (5H, m, Ph-H), 7.14 (2H, d, 3'-H, 5'-H), 5.18 (2H, s, CH_2); *m/z* 454 $(M+1)^+$.

4-Benzyloxyphenyl-(6-acetoxyquinazolin-4-yl)amine

Prepared according to Procedure A from 4-chloro-6-acetoxy-quinazoline (1 equiv) and 4-benzyloxyaniline (1 equiv). δH (DMSO-d6) 11.36 (s, 1H), 8.90 (s, 1H), 8.64 (s, 1H), 7.92-8.02 (m, 2H), 7.65 (d, 2H), 7.37-7.52 (m, 5H), 7.15 (d, 2H), 5.19 (s, 2H), 2.42 (s, 3H); MS *m/z* 386 (M+1)+.

4-Benzyloxyphenyl-(6-hydroxyquinazolin-4yl)amine

Prepared according to Procedure D from 4-benzyloxyphenyl-(6-acetoxyquinazolin-4-yl)amine. δH (DMSO-d6) 10.04 (s, 1H), 9.43 (s, 1H), 8.39 (s, 1H), 7.71-7.77 (m, 4H), 7.66 (d, 1H), 7.33-7.51 (m, 6H), 7.05 (s, 2H), 5.14 (s, 2H); MS *m/z* 344 $(M+1)^+$.

4-(3-Fluorobenzyloxy)-3-chlorophenyl-(6-acetoxyquinazolin-4-yl)amine

Prepared according to Procedure A from 4-chloro-6-acetoxy-quinazoline (1 equiv) and 4-(3-fluorobenzyloxy)-3-chloroaniline (1 – 1.3 equivs). δH (DMSO-d6) 11.18 (bs, 1H), 8.89 (s, 1H), 8.52 (s, 1H), 7.87-7.94 (m, 3H), 7.60-7.62 (m, 1H), 7.42-7.48 (m, 1H), 7.27-7.33 (m, 4H), 7.14-7.19 (m, 1H), 5.27 (s, 2H), 2.40 (s, 3H); MS *m/z* 438 $(M+1)^+$.

4-(3-Fluorobenzyloxy)-3-chlorophenyl-(6-hydroxyquinazolin-4-yl)amine

Prepared according to Procedure D from 4-(3-fluorobenzyloxy)-3-chlorophenyl- (6-acetoxyquinazolin-4-yl)amine. δH (DMSO-d6) 10.10 (bs, 1H), 9.51 (s, 1H), 8.46 (s, 1H), 8.08 (d, 1H), 7.76-7.79 (m, 3H), 7.42-7.53 (m, 2H), 7.18-7.36 (m, 4H), 5.27 (s, 2H); MS *m/z* 385 $(M+1)^+$.

(1-Benzyl-1H-indazol-5-yl)-(6-bromoquinazolin-4-yl)-amine

6-Bromo-4-chloroquinazoline (5.0g) was reacted with 5-amino-1-benzyl-1H-indazole (5.0g) in acetonitrile (100ml) at 100°C according to Procedure A. The resulting precipitate was treated with triethylamine in ethyl acetate and water to give the title compound as a yellow solid, (7.37g); δH [$^2H_6$] -DMSO 9.93(1H,s), 8.82 (1H,d), 8.52(1H,s), 8.19(1H,s), 8.09(1H,s), 7.92(1H,dd), 7.65(3H,m), 7.25(5H,m), 5.62(2H,s).

(1-Benzyl-1H-indazol-5-yl)-(6-iodoquinazolin-4-yl)-amine hydrochloride

4-Chloro-6-iodoquinazoline (5.8g) was treated with 5-amino-1-benzyl-1H-indazole (3.90g) in acetonitrile (500ml) at reflux under N_2 for 18 hours (Procedure A). Subsequent cooling and filtration gave the title compound (8.26g); *m/z* 478 $(M+1)^+$.

4-Benzyloxyphenyl-(6-hydroxy-7-methoxyquinazolin-4yl)amine hydrochloride

Prepared according to Procedure A from 4-chloro-6-acetoxy-7-methoxyquinazoline (prepared as described in WO96/33980) (1 equiv) and 4-benzyloxyaniline (1 equiv). MS *m/z* 374 (M+1)+.

(1-Benzyl-1H-indazol-5-yl)-(6-hydroxy-7-methoxyquinazolin-4-yl)-amine hydrochloride

Prepared according to Procedure A from 4-chloro-6-acetoxy-7-methoxyquinazoline (prepared as described in WO96/33980) (1 equiv) and 1-benzyl-1H-indazol-5-yl-amine (1 equiv); MS 398 *m/z* (M+1)+.

(4-(4-Benzenesulphonyl)-phenyl)-(6-hydroxy-7-methoxyquinazolin-4-yl)-amine hydrochloride

Prepared according to Procedure A from 4-chloro-6-acetoxy-7-methoxyquinazoline (prepared as described in WO96/33980) (1 equiv) and 4-benzeneaniline (1 equiv); MS *m/z* 408 (M+1)+.

1-(3-Fluorobenzyl)-1H-indazol-5yl)-(6-acetoxyquinazolin-4-yl)amine

Prepared according to Procedure A from 4-chloro-6-acetoxy-quinazoline (1 equiv) and 1-(3-fluorobenzyl)-1H-indazol-5yl amine (1 equiv). δH (DMSO-d6) 11.65 (s, 1H), 8.95 (s, 1H), 8.74 (d, 1H), 8.30 (s, 1H), 8.16 (s, 1H), 7.93-8.09 (m, 2H), 7.92 (d, 1H), 7.71 (m, 1H), 7.41-7.48 (m, 1H), 7.13-7.21 (m, 2H), 5.80 (s, 2H), 2.47(s, 3H); MS m/z 427 $(M+1)^+$.

1-(3-Fluorobenzyl)-1H-indazol-5yl)-(6-hydroxyquinazolin-4-yl)amine

Prepared according to Procedure D from 1-(3-fluorobenzyl)-1H-indazol-5-yl) -(6-acetoxy-quinazolin-4-yl)amine. δH (DMSO-d6) 10.06 (s, 1H), 9.59 (s, 1H), 8.42 (s, 1H), 8.28 (s, 1H), 8.17 (s, 1H), 7.67-7.81 (m, 4H), 7.38-7.44 (m, 2H), 7.06-7.12 (m, 3H), 5.72 (s, 2H); MS *m/z* 385 $(M+1)^+$.

7-Iodoquinazolin-4-one

7-Aminoquinazolin-4-one (R. Dempsy and E. Skito, Biochemistry, 30, 1991, 8480) (1.61g) was suspended in 6N HCl (20ml) and cooled in an ice bath. A solution of sodium nitrite (0.75g) in water (10ml) was added dropwise over 15 minutes. After a further 10 minutes, a solution of potassium iodide (1.66g) in water (5ml) was added dropwise. The mixture was warmed to 20°C and after 3 hours partitioned between ethyl acetate and sodium thiosulphate. The organic phase was dried and concentrated in vacuo to give the title compound (0.485g); *m/z* 271$(M+1^+)$.

4-Chloro-7-iodoquinazoline

7-Iodoquinazolin-4-one (0.46g) was treated with phosphorous oxychloride (5ml) at reflux under nitrogen for 2 hours. The mixture was cooled, evaporated and partitioned between saturated aqueous sodium carbonate and ethyl acetate. The organic phase was dried and concentrated in vacuo to give the title compound (0.43g); *m/z* 291 $(M+1^+)$.

4-Chloro-6-acetoxyquinazoline

Prepared in a similar manner to 4-chloro-7-iodo-quinazoline using 4,6-dihydroxy-quinazoline (Drug Des. Discovery (1992), 9(2), 167-76). δH (DMSO-d6) 9.15 (s, 1H), 8.21 (d, 1H), 8.09 (d, 1H), 7.99 (m, 1H), 2.39 (s, 3H).; MS *m/z* 223 $(M+1)^+$.

(1-Benzyl-1H-indazol-5-yl)-(7-iodoquinazolin-4-yl)amine hydrochloride

4-Chloro-7-iodoquinazoline (0.42g) was treated with 1-benzyl-1H-indazol-5-ylamine (0.323g) in acetonitrile (20ml) at reflux under nitrogen for 18 h (Procedure A). The mixture was cooled and filtered to give the title compound (0.57g); *m/z* (M+1+) 478.

(6-Chloropyrido[3,4-d]pyrimidin-4-yl)-(4-(4-fluorobenzyloxy)-phenyl)amine

4,6-Dichloro-pyrido[3,4-d]pyrimidine (1g) and 4-(4-fluorobenzyloxy)aniline (1.08g) in acetonitrile (70ml) were reacted together as in Procedure A. The product was collected by filtration as a yellow solid (1.83g); *m/z* 381 $(M+1)^+$.

(6-Chloropyrido[3,4-d]pyrimidin-4-yl)-(4-(3-fluorobenzyloxy)-phenyl)amine

4,6-Dichloro-pyrido[3,4-d]pyrimidine (1g) and 4-(3-fluorobenzyloxy)aniline (1.08g) in acetonitrile (70ml) were reacted together as in Procedure A. The product was collected by filtration as a yellow solid (1.86g); *m/z* 381 $(M+1)^+$.

4-(4-(4-Benzenesulphonyl)-phenyl)-6-iodo-quinazolineamine

4-Chloro-6-iodoquinazoline (1g) and 4-(benzene)-aniline (800mg) in acetonitrile (5ml) were reacted together as in Procedure A. The product was obtained by filtration as a yellow solid (1.5g); *m/z* 488 (M+1).

4-(4-Benzenesulphonyl-phenyl)-(6-acetoxyquinazolin-4yl)amine

Prepared according to Procedure A from 4-chloro-6-acetoxy-quinazoline (1 equiv) and 4-(benzenesulphonyl)-aniline (1 equiv). δH (DMSO-d6) 10.80 (bs, 1H), 8.84 (s, 1H), 8.47 (s, 1H), 7.91-8.18 (m, 5H), 7.83 (m, 1H), 7.59-7.69 (m, 2H), 2.35 (s, 3H); MS *m/z* $(M+1)^+$ 419.

4-(4-Benzenesulphonylphenyl)-(6-hydroxyquinazolin-4yl)amine

Prepared according to Procedure D from 4-(4-benzenesulphonylphenyl)-(6-acetoxyquinazolin-4yl)amine. δH NMR (DMSO-d6) 10.25 (s, 1H), 9.93 (s, 1H), 8.56 (s, 1H), 8.22 (d, 2H), 7.97 (m, 3H), 7.62-7.84 (m, 7H); MS *m/z* $(M+1)^+$ 377.

(1-H-Indazol-5-yl)-(6-iodoquinazolin-4-yl)amine hydrochloride

6-Iodo-4-chloroquinazoline (4.87g) was reacted with 5-amino-1-H-indazole (2.68g) in acetonitrile according to Procedure A. The precipitate was collected by filtration, washed with excess acetonitrile and dried *in vacuo* to give the title compound as a brown solid (7.1g); *m/z* 388 $(M+1^+)$.

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde

(1-Benzyl-1H-indazol-5-yl)-(6-iodoquinazolin-4-yl)-amine was prepared from its hydrochloride salt by treatment aqueous sodium bicarbonate and extraction with ethyl acetate. A mixture of the resulting material (0.478g), sodium formate (0.10g), bis(triphenylphosphine)palladium(II)chloride (0.14g) and triphenylphosphine (0.006g) in DMF (5ml) was stirred at 110°C under an atmosphere of carbon monoxide for 3 h. After cooling the reaction mixture was treated with 5% aqueous sodium hydroxide solution and extracted with ether. The combined extracts were washed with water, dried ($MgSO_4$), and concentrated *in vacuo*. Trituration with ether gave the aldehyde product as a yellow solid (0.123g); δH $[^2H_6]$-DMSO 10.35 (1H, s), 10.14 (1H, s), 9.22 (1H, s), 8.63 (1H, s), 8.27 (1H, dd), 8.21 (1H, d), 8.17 (1H, s), 7.89 (1H, d), 7.68-7.80 (2H, m), 7.22-7.38 (5H, m), 5.69 (2H, s); m/z 380 $(M+1)^+$.

N-Methyl-*N*-(2-methanesulphonyl-ethyl)amine hydrochloride

Methylvinyl sulphone (2.1g, 19.78mmol) and methylamine (33% solution in IMS, 40ml, excess) were mixed and heated at reflux under a nitrogen atmosphere for 6 hours. After standing overnight at room temperature, the mixture was concentrated *in vacuo* to give a yellow oil, which was treated with ethereal HCl to give a sticky solid. Trituration with absolute ethanol gave the title compound as a white solid which was collected by filtration and dried at 60°C *in vacuo* (1.01g, 5.82mmol, 29%); δH $[^2H_6]$DMSO 9.27 (2H,bs), 3.59 (2H,dd), 3.31 (2H,dd), 2.57 (3H,s).

N-Methyl-N-(2-methylethyl)amine

Prepared according to Procedure E from N-methyl-N-(2-methylethyl)trifluoroacetamide . δH (DMSO-d6) 3.36 (bs, 1H); 3.21 (t, 2H); 3.02 (s, 3H); 2.86 (t, 2H); 2.29 (s, 3H); MS *m/z* 138 (M+1).

N-[2-(Methanesulphonamido)ethyl]acetamide

N-Acetylethylenediamine (10.2g, 100mmol) and triethylamine (15ml, 10.9g, 108mmol) were dissolved in dichloromethane (300ml) and the solution cooled to 0°C. Methane chloride (8ml, 11.8g, 103mmol) was dissolved in dichloromethane (10ml) and added dropwise, and stirring was continued at 0°C for 3h. The dichloromethane was removed *in vacuo*, and the residue was suspended in a mixture of ether and acetone, removing the insoluble material by filtration. The filtrate was concentrated *in vacuo* to give the title compound as a pale brown gum (14.5g, 88.3mmol, 88%); δH [$^2H_6$]DMSO 7.93 (1H,bt), 7.05 (1H,t), 3.11 (2H,t), 2.97 (2H,t), 2.89 (3H,s), 2.09 (3H,s).

N-(4-Bromobutyl)-2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl)acetamide

To a solution of 2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl) acetamide (WO98/02434) (1 mmol) in DMF (2 mL) was added NaHMDS (1.0 M in THF, 1 mL) slowly and the yellow solution was stirred at room temperature for 30 minutes. The solution containing the resulting anion was added to a solution of 1,4-dibromobutane (4 mmol) in DMF (10 mL) and the mixture was stirred at room temperature under nitrogen for 72 h. The reaction mixture was concentrated *in vacuo* and the residue was diluted with ethyl acetate (15 mL) and saturated aqueous $NaHCO_3$ (10 mL). Ethyl acetate (3X) was used to extract the aqueous layer and the combined organic layers were washed with water and brine, and dried over anhydrous magnesium sulfate. Filtration through Celite™-silica gel and concentration *in vacuo* gave the crude material which was purified by column chromatography (35% EtOac/hexanes) to yield white solids. ^1H NMR NMR (DMSO-d6) 3.81 (m, 2H), 3.58 (m, 3H), 3.49 (m, 3H), 3.08 (s, 3H), 1.69-1.82 (m, 4H); electrospray MS *m/z* 376 $(M+Na)^+$.

N-Methyl-2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl) acetamide

Prepared in a similar manner to *N*-(4-bromobutyl)-2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl)acetamide utilizing 2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl)acetamide and methyl iodide. δH (DMSO-d6) 3.83 (t, 2H); 3.49 (t, 2H); 3.16 (2, 3H); 3.06 (s, 3H); electrospray MS *m/z* 256 (M+Na).

2-(Methanesulphonamido)ethylamine hydrochloride

N-[2-(Methanesulphonamido)ethyl]acetamide (14.5g, 88.3mmol) and concentrated hydrochloric acid (100ml) were dissolved in water (100ml) and heated to reflux for a total of 3 hours. After cooling, the water was removed *in vacuo*, and the residue was left for several days at room temperature until crystallisation was underway. Trituration with a mixture of ethanol and ether gave the title compound as a white solid which was dried *in vacuo* at 60°C (7.5g, 42.9mmol, 49%); δH [$^2H_6$]DMSO 8.22 (2H,bs), 7.42 (1H,t), 3.23 (2H,q), 2.87 (3H,s), 2.85-2.95 (2H,m).

2-Phthalimidoethylsulphonamide

2-Phthalimidoethyl chloride (prepared as described in J. Am. Chem. Soc., 69, 1393-1401, (1947)) (10.0g, 36.5mmol) was added to conc. aqueous ammonia solution (0.880Mol, 120ml), cooled to 0°C. The mixture was stirred at 0°C for 30 min and then at room temperature for 2 hours. Concentration *in vacuo,* followed by trituration with water gave 2-phthalimidoethylsulphonamide as a white solid (3.70g, 14.6mmol, 40%); δH [$^2H_6$]DMSO 7.80-7.92 (4H,m), 7.03 (2H,bs), 3.96 (2H,dd), 3.30-3.38 (2H,m, obscured by water).

2-Aminoethylsulphonamide hydrochloride

2-Phthalimidoethylsulphonamide (3.68g, 14.5mmol) was suspended in ethanol (50ml) and hydrazine hydrate (0.70g, 71.5mmol) was added. The mixture was heated to reflux for 4 hours. The mixture was partially concentrated *in vacuo*, diluted with water, acidified to pH 1 with 2N HCl, and filtered. The filtrate was concentrated *in vacuo* to give a white solid. Treatment with more 2N HCl, followed by trituration with a mixture of ethanol and acetone gave the title compound as a white solid (1.0g, 6.23mmol, 43%); δH D_2O 3.60-3.69 (2H,m), 3.50-3.58 (2H,m).

N-{4-[(4-{3-Chloro-4-[(3-fluorobenzyl)oxy]anilino}-6-quinazolinyl)oxy]butyl}-2,2,2-trifluoro-N-[2-(methanesulphonyl)ethyl]acetamide

Prepared according to Procedure C from 4-[4-(3-chloro-(3-fluorobenzyloxy)phenyl)-(6-hydroxyquinazolin-4yl)amine (1 equiv) and 4-bromo-[N-[2-(methanesulphonyl)-ethyl]]-N-trifluoromethylcarbonylbutylamine (1 equiv). δH (DMSO) 9.55 (s, 1H), 8.45 (s, 1H), 7.96 (s, 1H), 7.85 (s, 1H), 7.69 (d, 2H), 7.43-7.47 (m, 2H), 7.24-7.31 (m, 2H),

7.15 (m, 1H), 5.22 (s, 2H), 4.15 (m, 2H), 3.77 (m, 2H), 3.44-3.52 (m, 4H), 3.02 (s, 3H), 1.80 (m, 4H); MS *m/z* 669 $(M+1)^+$.

N-[4-({4-[4-(Benzyloxy)anilino]-6-quinazolinyl}oxy)butyl]-2,2,2-trifluoro-N-[2-(methyl sulphonyl)ethyl]acetamide

Prepared according to Procedure C from 4-[4-(benzyloxy)phenyl)-(6-hydroxyquinazolin-4-yl)amine (1 equiv) and 4-bromo-[N-[2-(methanesulphonyl)-ethyl]]-N-trifluoromethylcarbonylbutylamine (1 equiv). δH (DMSO) 9.58 (s, 1H), 8.37 (s, 1H), 7.89 (s, 1H), 7.62-7.67 (m, 3H), 7.28-7.45 (m, 7H), 7.02 (d, 1H), 5.09 (s, 2H), 4.14 (m, 2H), 3.78 (m, 2H), 3.44-3.56 (m, 4H), 3.03 (s, 3H), 1.79 (m, 4H); MS m/z $(M+1)^+$ 617.

2,2,2-Trifluoro-N-{4-[(4-{[1-(3-fluorobenzyl)-1H-indazol-5-yl]amino}-6-quinazolinyl)oxy]butyl}-N-[2-(methanesulphonyl)ethyl]acetamide

Prepared according to Procedure C from 4-[1-(3-fluorobenzyl)-1H-indazol-5-yl]-(6-hydroxyquinazolin-4yl)amine (1 equiv) and *N*-(4-bromobutyl)-2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl)acetamide (1 equiv). δH (DMSO) 9.66 (s, 1H), 8.40 (s, 1H), 8.14 (d, 2H), 7.91 (d, 1H), 7.63-7.72 (m, 3H), 7.46 (m, 1H), 7.33 (m, 1H); 5.67 (m, 2H), 4.16 (m, 2H), 3.78 (m, 2H), 3.44-3.57 (m, 4H), 3.03 (s, 3H), 1.80 (m, 4H); MS *m/z* 659 $(M+1)^+$.

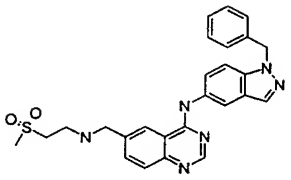
2,2,2-Trifluoro-N-[2-(methanesulphonyl)ethyl]-N-[4-({4-[4-(benzenesulphonyl)anilino]-6-quinazolinyl}oxy)butyl]acetamide

Prepared according to Procedure C from 4-(4-benzenesulphonyl)phenyl)-(6-hydroxyquinazolin-4yl)amine (1 equiv) and *N*-(4-bromobutyl)-2,2,2-trifluoro-*N*-[2-(methanesulphonylethyl)acetamide (1 equiv). δH (DMSO) 9.95 (s, 1H), 8.61 (s, 1H), 8.18 (d, 2H), 7.96-8.02 (m, 5H), 7.81 (d, 1H), 7.57-7.23 (4 H, m), 4.22 (m, 2H), 3.83 (m, 2H), 3.49-3.57 94 H, m), 3.08 93 H, s), 1.85 (4 H, m); MS *m/z* 650 $(M+1)^+$.

Intermediates of formula (XII) described in Scheme 1, in particular those in which P' represents a trifluoromethylcarbonyl group are of interest. Those specifically mentioned in the Intermediate section have been shown to exhibit c-erbB-2 activity. Such compounds thus represent a further aspect of the present invention.

Examples

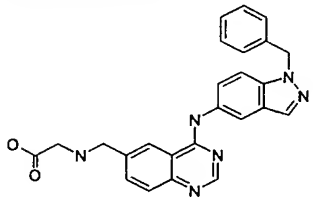
Example 1



(1-Benzyl-1H-indazol-5-yl)-(6-(2-(methanesulphonyl)ethylaminomethyl)-quinazolin-4-yl)amine hydrochloride

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.10g) was reacted with 2-(methanesulphonyl)ethylamine (2 equiv.) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/dichloromethane, followed by acidification with HCl/dioxane, gave the product as a yellow solid (0.053g); δH [$^2H_6$] -DMSO 9.95 (2H, br), 9.18 (1H,s), 8.89 (1H,s), 8.28 (1H,d), 8.22 (1H,s), 8.14 (1H,s), 7.98 (1H,d), 7.83 (1H,d), 7.70 (1H,d), 7.21-7.38 (5H,m), 5.71 (2H,s), 4.41 (2H,s), 3.30-3.75 (4H,m), 3.15 (3H,s); *m/z* 487 $(M+1)^+$.

Example 2

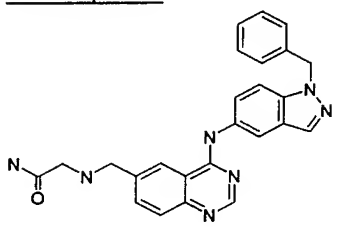


2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetic acid

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.10g) was reacted with 2-aminoacetic acid (0.065g) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/dichloromethane, gave the crude product. This was suspended in methanol, treated with 2N aq. NaOH solution at room temperature for 3 hours, and the mixture neutralised with sat. aq.NH_4Cl solution. The resulting solution was extracted with ether, and the organic layer concentrated *in vacuo* to give the product as a yellow solid (0.027g); δH [$^2H_6$] -DMSO 10.14 (br), 8.67 (1H,s), 8.53 (1H,s), 8.23 (1H,s), 8.12 (1H,s), 7.91 (1H, d),

7.68-7.80 (2H,m), 7.21-7.38 (6H,m), 5.68 (2H,s), 4.14 (2H,s), 3.30 (2H,s); *m/z* 439 $(M+1)^+$.

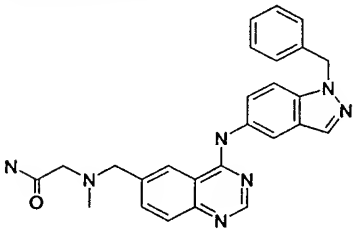
Example 3



2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetamide

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.10g) was reacted with glycinamide hydrochloride (2 equiv.) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/ethyl acetate, gave the product as a yellow solid (0.010g); δH [$^2H_6$] -DMSO 9.87 (1H,s), 8.47-8.57 (2H,m), 8.22 (1H,s), 8.13 (1H,s), 7.88 (1H,d), 7.65-7.79 (2H,m), 7.20-7.38 (7H,m), 5.68 (2H,s), 3.89 (2H,s), 3.10 (2H,s); *m/z* 438 $(M+1)^+$.

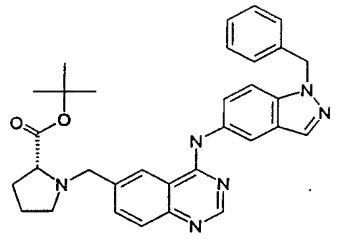
Example 4



2-(*N*-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methyl)-*N*-methylamino)-acetamide

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.10g) was reacted with sarcosinamide (2 equiv.) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/ethyl acetate, gave the product as a yellow solid (0.030g); δH [$^2H_6$] -DMSO 9.92 (1H,s), 8.55 (1H,s), 8.50 (1H,s), 8.21 (1H,s), 8.14 (1H,s), 7.90 (1H,d), 7.63-7.77 (3H,m), 7.18-7.45 (7H,m), 5.68 (2H,s), 3.75 (2H,s), 3.39 (3H,s), 2.98 (2H,s); *m/z* 452 $(M+1)^+$.

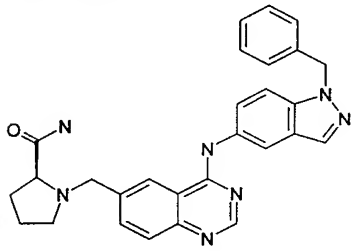
Example 5



(2R)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.066g) was reacted with D-proline *t*-butyl ester hydrochloride (0.072g) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/ethyl acetate, gave the product as a yellow solid (0.030g); δH [$^2H_6$] -DMSO 9.20 (1H,br), 8.84 (1H,s), 8.14-8.20 (2H,m), 8.09 (1H,s), 7.97 (1H,d), 7.80 (1H,d), 7.63-7.70 (1H,m), 7.21-7.35 (6H,m), 5.68 (2H,s), 4.50 (2H,s), 4.09-4.12 (1H,m), 3.25-3.50 (2H, m, obscured by water), 1.85-2.05 (4H,m), 1.32 (9H,s); *m/z* 535 $(M+1)^+$.

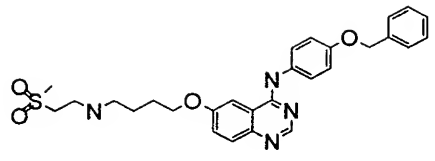
Example 6



(2S)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxamide

4-(1-Benzyl-1H-indazol-5-ylamino)quinazoline-6-carbaldehyde (0.066g) was reacted with L-prolineamide (2 equiv.) according to Procedure B. Purification using a Bond Elut™ cartridge, eluting with methanol/ethyl acetate, gave the product as a yellow solid (0.040g); δH [$^2H_6$] -DMSO 9.95 (1H,br), 8.48-8.53 (2H,m), 8.17 (1H,s), 8.13 (1H,s), 7.88 (1H,d), 7.64-7.76 (3H,m), 7.13-7.44 (7H,m), 5.67 (2H,s), 3.96-4.05 (2H,m), 3.44-3.52 (1H,m), 2.76-3.06 (2H,m), 1.60-1.85 (4H,m); *m/z* 478 $(M+1)^+$.

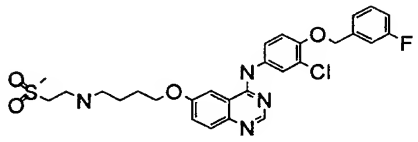
Example 7



4-(4'-Benzyloxyanilino)-6-(4'-(2"-methanesulphonylethyl)aminobutoxy)quinazoline

Prepared according to Procedure E from N-[4-({4-[4-(benzyloxy)anilino]-6-quinazolinyl}oxy)butyl]-2,2,2-trifluoro-N-[2-(methanesulphonyl)ethyl]acetamide. δH (DMSO) 9.55 (s, 1H), 8.44 (s, 1H), 7.92 (d, 1H), 7.68-7.74 (m, 3H), 7.35-7.52 (m, 6H), 7.09 (d, 2H), 5.16 (s, 2H), 4.18 (m, 2H), 3.32 (m, 2H), 3.11 (s, 3H), 2.96 (m, 3H), 2.64 (m, 2H), 1.88 (m, 2H), 1.64 (m, 2H); MS *m/z* 521 $(M+1)^+$.

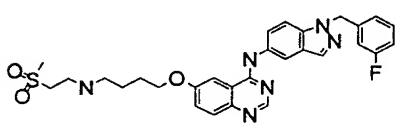
Example 8



N-{3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl}-6-(4-{[2-(methanesulphonyl)ethyl]amino}butoxy)-4-quinazolinamine

Prepared according to Procedure E from N-{3-chloro-4-[(3-fluorobenzyl)oxy]phenyl}-quinazolinoxybutyl-2,2,2-trifluoro-N-[2-(methanesulphonyl)ethyl]acetamide. δH (DMSO) 9.54 (s, 1H), 8.44 (s, 1H), 7.96 (d, 1H), 7.84 (d, 1H), 7.67-7.71 (m, 2H), 7.41-7.48 (m, 2H), 7.24-7.31 (m, 3H), 7.15 (m, 1H), 5.22 (s, 2H), 4.12 (m, 2H), 3.17 (m, 2H), 2.98 (s, 3H), 2.90 (m, 2H), 2.58 (m, 2H), 1.81 (m, 2H), 1.58 (m, 2H); MS *m/z* 573 $(M+1)^+$.

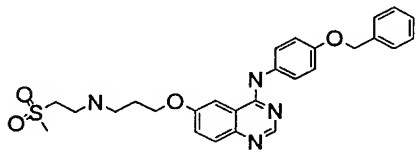
Example 9



N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]-amino}-butoxy)-4-quinazolinamine

Prepared according to Procedure E from 2,2,2-trifluoro-N-{4-[(4-{[1-(3-fluorobenzyl)-1H-indazol-5-yl]amino}-6-quinazolinyl)oxy]butyl}-N-[2-(methanesulphonyl)ethyl]-acetamide. δH (DMSO) 9.65 (s, 1H), 8.39 (s, 1H), 8.12-8.16 (d, 2H), 7.89 (s, 1H), 7.64-7.72 (m, 3H), 7.45 (m, 1H), 7.31-7.36 (m, 1H), 7.01-7.09 (m, 3H), 4.13 (2 H, t), 3.19 (s, 2H), 2.98 (s, 3H), 2.90 (2 H, t), 2.58 92 H, t), 1.82 (m, 2H), 1.58 (2 H, t); MS *m/z* 563 $(M+1)^+$.

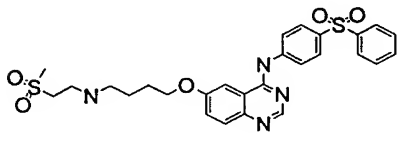
Example 10



(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl) amine

Prepared according to Procedure F from (4-benzyloxyphenyl)-(6-(3-bomopropoxy)-quinazoline (0.1mmol) and 2-(methanesulphonyl)ethylamine (0.3mmol). δH (DMSO) 9.54 (s, 1H), 8.37 (s, 1H), 7.87 (s, 1H), 7.62-7.66 (m, 3H), 7.28-7.45 (m, 7H), 7.02 (d, 2H), 5.09 (s, 2H), 4.17 (m, 2H), 3.20 (m, 2H), 2.97 (s, 3H), 2.92 (m, 2H), 2.70 (m, 2H), 1.91 (m, 2H); MS *m/z* 507$(M+1)^+$.

Example 11

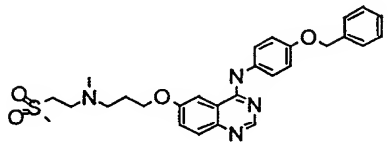


6-(4-{[2-(Methyl)ethyl]amino}butoxy)-N-[4-(benzenesulphonyl)phenyl]-4-quinazolinamine

Prepared according to Procedure E from 2,2,2-trifluoro-N-[2-(methyl)ethyl]-N-[4-({4-[4-(benzenesulphonyl)anilino]-6-quinazolinyl}oxy)butyl]acetamide. δH (DMSO) 9.86 (s, 1H), 8.55 (s, 1H), 8.13 (d, 1H), 7.89-7.96 (m, 5H), 7.74 (d, 1H), 7.58-7.67 (m,

3H), 7.52 (d, 2H), 4.13 (2 H, t), 3.18 (2 H, t), 2.97 (s, 3H), 2.88 (2 H, t), 2.56 (2 H, t), 1.81 (m, 2H), 1.57 (m, 2H); MS *m/z* 555 $(M+1)^+$.

Example 12



N-[4-(Benzyloxy)phenyl]-6-(3-{methyl[2-(methanesulphonyl)ethyl]amino}propoxy)-4-quinazolinamine

Prepared according to Procedure F from N-[4-(benzyloxy)phenyl]-6-(3-bromopropoxy)-4-quinazoline (0.1 mmol) and N-methyl-2-(methanesulphonyl)ethyl-amine (0.3mmol). δH (DMSO) 9.50 (s, 1H), 8.37 (s, 1H), 7.86 (d, 1H), 7.61-7.67 (m, 3H), 7.30-7.45 (6 H, m), 7.02 (d, 2H),5.09 (s, 2H), 4.13 (2 H, t), 3.29 (m, 2H), 2.96 (s, 3H), 2.75 (m, 2H), 2.53 (m, 2H), 2.20 (s, 3H), 1.93 (m, 2H); MS *m/z* 521$(M+1)^+$.

Further examples

The compounds in Lists 1 to 157 above and their hydrochloride salts, if appropriate, are prepared by analogous techniques using the appropriate starting materials.

Biological Data

Compounds of the present invention were tested for protein tyrosine kinase inhibitory activity in substrate phosphorylation assays and cell proliferation assays.

Substrate Phosphorylation Assay

The substrate phosphorylation assays use baculovirus expressed, recombinant constructs of the intracellular domains of c-erbB-2 and c-erbB-4 that are constitutively active and EGFr isolated from solubilised A431 cell membranes. The method measures the ability of the isolated enzymes to catalyse the transfer of the γ-phosphate from ATP onto tyrosine residues in a biotinylated synthetic peptide (Biotin-GluGluGluGluTyrPheGluLeuVal). Substrate phosphorylation was detected following either of the following two procedures: a.) c-ErbB-2, c-ErbB4 or EGFr were incubated for 30 minutes, at room temperature, with 10mM $MnCl_2$, 10μM ATP, 5 μM

peptide, and test compound (diluted from a 5mM stock in DMSO, final DMSO concentration is 2%) in 40mM HEPES buffer, pH 7.4. The reaction was stopped by the addition of EDTA (final concentration 0.15mM) and a sample was transferred to a streptavidin-coated 96-well plate. The plate was washed and the level of phosphotyrosine on the peptide was determined using a Europium-labelled antiphosphotyrosine antibody and quantified with a time-resolved fluorescence technique. b.) ErbB2 was incubated for 50 minutes at room temperature with 15 mM MnCl2, 2 μM ATP, 0.25 μCi [γ-^{33}P] ATP/well, 5 μM peptide substrate, and test compound (diluted from a 10mM stock in DMSO, final DMSO concentration is 2%) in 50 mM MOPS pH 7.2. The reaction was terminated by the addition of 200 μl of PBS containing 2.5 mg/ml streptavidin-coated SPA beads (Amersham Inc.), 50 μM ATP, 10 mM EDTA and 0.1%TX-100. The microtitre plates were sealed and SPA beads were allowed to settle for at least six hours. The SPA signal was measured using a Packard Topcount 96-well plate scintillation counter (Packard Instrument Co., Meriden, CT).

The results are shown in Table 1 as the IC_{50} values.

Table 1

	Substrate Phosphorylation	
Example	EGFr -assay (a)	ErbB-2 - assay (b)
1	+++	ND
2	+++	ND
3	+++	ND
4	+++	ND
5	++	ND
6	+++	ND
7	ND	+++
8	ND	++
9	ND	+++
10	ND	++
11	ND	+++
12	ND	+++

IC_{50} value	Symbol
< 0.10 μM	+++
0.1 – 1 μM	++
1 – 10 μM	+
> 10 μM	-
Not determined	ND

Cellular assays: Methylene Blue Growth Inhibition Assay

Human breast (BT474), head and neck (HN5) and gastric tumor (N87) cell lines were cultured in low glucose DMEM (Life Technologies 12320-032) containing 10% fetal bovine serum (FBS) at 37°C in a humidified 10% CO_2, 90% air incubator. The SV40 transformed human mammary epithelial cell line HB4a was transfected with either human H-ras cDNA (HB4a r4.2) or the human c-erbB2 cDNA (HB4a c5.2). The HB4a clones were cultured in RPMI containing 10% FBS, insulin (5 μg/ml), hydrocortisone (5 μg/ml), supplemented with the selection agent hygromycin B (50μg/ml). Cells were harvested using trypsin/EDTA, counted using a haemocytometer, and plated in 100 ml of the appropriate media, at the following densities, in a 96-well tissue culture plate (Falcon 3075): BT474 10,000 cells/well, HN5 3,000 cells/well, N87 10,000 cells/well, HB4a c5.2 3,000 cells/well, HB4a r4.2 3,000 cells/well. The next day, compounds were diluted in DMEM containing 100 mg/ml gentamicin, at twice the final required concentration, from 10mM stock solutions in DMSO. 100ml/well of these dilutions were added to the 100ml of media currently on the cell plates. Medium containing 0.6% DMSO was added to control wells. Compounds diluted in DMEM were added to all cell lines, including the HB4a r4.2 and HB4a c5.2 cell lines. The final concentration of DMSO in all wells was 0.3%. Cells were incubated at 37°C, 10% CO_2 for 3 days. Medium was removed by aspiration. Cell biomass was estimated by staining cells with 100μl per well methylene blue (Sigma M9140, 0.5% in 50:50 ethanol:water), and incubation at room temperature for at least 30 minutes. Stain was removed, and the plates rinsed under a gentle stream of water, and air-dried. To release stain from the cells 100μl of solubilisation solution was added (1% N-lauroyl sarcosine, Sodium salt, Sigma L5125, in PBS), and plates were shaken gently for about 30 minutes. Optical density at 620 nM was measured on a microplate reader. Percent inhibition of cell growth was calculated relative to vehicle treated control wells. Concentration of

compound that inhibits 50% of cell growth (IC_{50}) was interpolated using nonlinear regression (Levenberg-Marquardt) and the equation, $y = V_{max}*(1-(x/(K+x))) + Y2$, where "K" was equal to the IC_{50}.

Activity against a range of naturally occurring EGFr or c-erbB-2 over-expressing human tumour cell lines (BT474-breast, HN%-head and neck, and N87-gastric) is assessed with selected compounds by the same methodology. The results are shown in Table 2 below as the IC_{50} values.

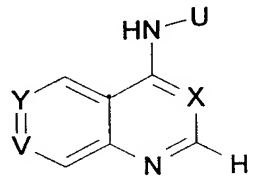
Table 2

Example	HB4a erbB2	HB4a ras	BT474	HN5	N87
1	+++	-	ND	ND	ND
2	+	-	ND	ND	ND
3	+++	-	ND	ND	ND
4	+++	-	ND	ND	ND
5	++	-	ND	ND	ND
6	+++	-	ND	ND	ND
7	+++	+	+++	+++	+++
8	++	-	++	++	++
9	+++	++	+++	+++	+++
10	++	-	++	++	++
11	+++	-	+++	+++	+++
12	+++	+	+++	+++	+++

IC_{50} value	Symbol
< 5 μM	+++
5 – 25 μM	++
25 – 50 μM	+
> 50 μM	-
Not determined	ND

Claims:

1. A compound of formula (I)



(I)

or a salt or solvate thereof;

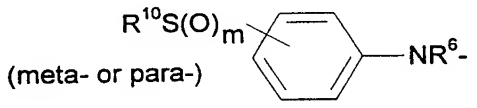
wherein X is N or CH;

Y is CR^1 and V is N;
or Y is N and V is CR^1;
or Y is CR^1 and V is CR^2;
or Y is CR^2 and V is CR^1;

R^1 represents a group Q-M-, wherein M is a C_{1-4} alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6; or wherein M is a C_5 alkylene group in which any carbon atom, other than a carbon atom immediately adjacent the group Q, may be replaced by an oxygen or sulphur atom or by a group NR^6;

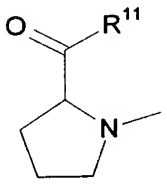
Q represents a group of formula $Z-(CH_2)_p-NR^6$, wherein p is 1 to 4 and Z is selected from the group comprising $NR^6S(O)_mR^{10}$, $S(O)_mNR^8R^9$, $CONR^8R^9$, NR^6COR^7, $S(O)_mR^{10}$ and CO_2R^7;

or Q represents a group of formula



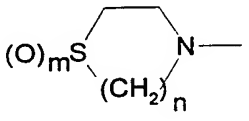
;

or Q represents a group of formula



wherein R^{11} represents NR^8R^9 or OR^{10};

or Q represents a group of formula



;

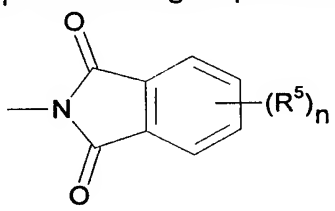
wherein R^6, R^7, R^8 and R^9 each independently represent H or C_{1-4} alkyl, and R^{10} represents C_{1-4} alkyl; m is 1 or 2; and n is 1 or 2;

R^2 is selected from the group comprising hydrogen, halo, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylamino and di[C_{1-4} alkyl]amino;

U represents phenyl, pyridyl, pyrimidinyl or 3H-imidazolyl or a 9- or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms and optionally containing a further heteroatom selected from oxygen, nitrogen and sulphur, U being substituted by an R^3 group and optionally substituted by up to three independently selected R^4 groups;

R^3 is selected from a group comprising benzyl, halo-, dihalo- and trihalobenzyl, benzoyl, pyridylmethyl, pyridylmethoxy, phenoxy, benzyloxy, halo-, dihalo- and trihalobenzyloxy and benzenesulphonyl;

or R^3 represents a group of formula



wherein each R^5 is independently selected from halogen, C_{1-4} alkyl and C_{1-4} alkoxy; and n is 0 to 3;

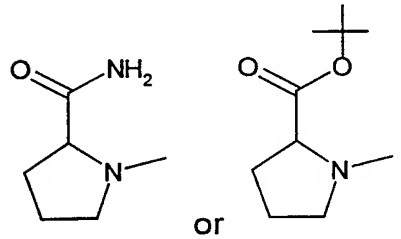
each R^4 is independently hydroxy, halogen, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, amino, C_{1-4} alkylamino, di[C_{1-4} alkyl]amino, C_{1-4} alkylthio, C_{1-4} alkylsulphinyl, C_{1-4} alkylsulphonyl, C_{1-4} alkylcarbonyl, carboxy, carbamoyl, C_{1-4} alkoxycarbonyl, C_{1-4} alkanoylamino, N-(C_{1-4} alkyl)carbamoyl, N,N-di(C_{1-4} alkyl)carbamoyl, cyano, nitro and trifluoromethyl.

2. A compound as claimed in claim 1 wherein R^2 is hydrogen or C_{1-4} alkoxy.

3. A compound as claimed in claims 1 and 2 wherein M represents a -CH_2-, -$CH_2CH_2CH_2O$- group; or M represents a -$CH_2CH_2CH_2CH_2O$- group.

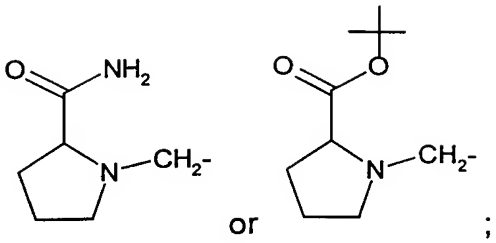
4. A compound as claimed in any of claims 1 to 3 wherein Z represents a group $S(O)_mNR^8R^9$, $NR^6S(O)_mR^{10}$ or $S(O)_mR^{10}$; wherein m is 1 or 2; R^8 and R^9 are independently methyl or hydrogen; R^{10} is methyl; R^6 is methyl or hydrogen, or wherein Z represents a group $CONR^8R^9$ or CO_2R^7;wherein R^7 is methyl or hydrogen.

5. A compound as claimed in any of claims 1 to 4 wherein Q represents a $CH_3SO_2(CH_2)_{2-3}NH$, $CH_3SO(CH_2)_{2-3}NH$, $CH_3SO_2(CH_2)_{2-3}N(CH_3)$, $CH_3SO(CH_2)_{2-3}N(CH_3)$, $CH_3SO_2NH(CH_2)_{2-3}NH$ or $CH_3SO_2NH(CH_2)_{2-3}N(CH_3)$ group; or wherein Q represents $HO_2C(CH_2)_{2-3}NH$, HO_2C $(CH_2)_{2-3}N(CH_3)$, $NH_2CO(CH_2)_{2-3}NH$, $NH_2CO(CH_2)_{2-3}N(CH_3)$,



or .

6. A compound as claimed in any of claims 1 to 5 wherein R^1 is selected from the group comprising $CH_3SO_2CH_2CH_2NHCH_2$;



;

$HO_2CCH_2NHCH_2$, $NH_2COCH_2NHCH_2$ or $NH_2COCH_2N(CH_3)CH_2$; $CH_3SO_2CH_2CH_2N(CH_3)CH_2$ or $HO_2CCH_2N(CH_3)CH_2$; $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$ or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$; $CH_3SO_2CH_2CH_2NHCH_2CH_2$ CH_2CH_2O or $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2$ CH_2CH_2O.

7. A compound as claimed in any of claims 1 to 6 wherein U represents a phenyl or 1H-indazolyl group substituted by an R^3 group and optionally substituted by up to three independently selected R^4 groups.

8. A compound as claimed in any one of claims 1 to 7 wherein R^3 represents benzyloxy, fluorobenzyloxy (especially 3-fluorobenzyloxy), benzyl, phenoxy and benzenesulphonyl; or R^3 represents fluorobenzyl (especially 3-fluorobenzyl).

9. A compound as claimed in any of claims 1 to 8 wherein the group U together with the substituent(s) R^3 and R^4 represents benzyloxyphenyl, fluorobenzyloxyphenyl, benzenesulphonylphenyl, benzylindazolyl or phenoxyphenyl; or wherein the group U together with the substituent(s) R^3 and R^4 represents fluorobenzyloxy(chlorophenyl) or fluorobenzylindazolyl.

10. A compound of formula (I) or a salt or solvate thereof as claimed in any of claims 1 to 9 wherein X is N; V is CR^2, wherein R^2 is hydrogen or methoxy; Y is CR^1 wherein R^1 is a $CH_3SO_2CH_2CH_2NHCH_2$, $NH_2COCH_2NHCH_2$, $NH_2COCH_2N(CH_3)CH_2$, $HO_2CCH_2NHCH_2$, prolinamido-methyl or proline(t-butyl-ester)-methyl group; U is phenyl or indazolyl; R^3 is benzyl or benzyloxy; and R^4 is not present.

11. A compound of formula (I) or a salt or solvate thereof as claimed in any of claims 1 to 9 wherein X is N; V is CR^2, wherein R^2 is hydrogen or methoxy; Y is CR^1 wherein R^1 is $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2O$, $CH_3SO_2CH_2CH_2NHCH_2CH_2CH_2CH_2O$ or

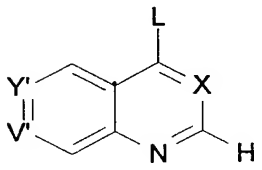
$CH_3SO_2CH_2CH_2N(CH_3)CH_2CH_2CH_2CH_2O$; U is phenyl or indazolyl; R^3 is benzyl, fluorobenzyl, benzenesulphonyl, benzyloxy or fluorobenzyloxy; and R^4 is not present or is halo (especially chloro).

12. A compound as claimed in claim 1 selected from:
(1-Benzyl-1H-indazol-5-yl)-(6-(2-(methanesulphonyl)ethylaminomethyl)-quinazolin-4-yl)amine hydrochloride;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetic acid;
2-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methylamino)acetamide;
2-(*N*-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-yl)methyl)-*N*-methylamino)acetamide;
(2R)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxylic acid *t*-butyl ester;
(2S)-1-(4-(1-Benzyl-1H-indazol-5-ylamino)quinazolin-6-ylmethyl)pyrrolidine-2-carboxamide;
4-(4'-Benzyloxyanilino)-6-(4'-(2"-methanesulphonylethyl)aminobutoxy) quinazoline;
N-{3-Chloro-4-[(3-fluorobenzyl)oxy]phenyl}-6-(4-{[2-(methanesulphonyl)ethyl]amino} butoxy)-4-quinazolinamine;
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)-4-quinazolinamine;
(4-Benzyloxyphenyl)-(6-(3-(2-methanesulphonyl-ethylamino)-propoxy)-quinazolin-4-yl) amine;
6-(4-{[2-(Methanesulphonyl)ethyl]amino}butoxy)-N-[4-(benzenesulphonyl)phenyl]-4-quinazolinamine;
N-[4-(Benzyloxy)phenyl]-6-(3-{methyl[2-(methanesulphonyl)ethyl]amino}propoxy)-4-quinazolinamine;
and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

13. A compound as claimed in claim 12 selected from:
N-[1-(3-Fluorobenzyl)-1H-indazol-5-yl]-6-(4-{[2-(methanesulphonyl)ethyl]amino}-butoxy)-4-quinazolinamine;
and salts or solvates thereof, particularly pharmaceutically acceptable salts or solvates thereof.

14. A process for the preparation of a compound of formula (I) as defined in claim 1 which comprises the steps:

(a) the reaction of a compound of formula (II)



(II)

wherein X is as defined above;

Y' is C-M-L' and V' is N;

or Y' is N and V' is C-M-L';

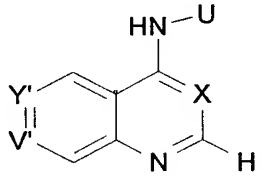
or Y' is C-M-L' and V' is CR^2;

or Y' is CR^2 and V' is C-M-L';

wherein R^2 and M are as defined above, and L and L' are suitable leaving groups, with a compound of formula (III)

$$UNH_2 \quad \text{(III)}$$

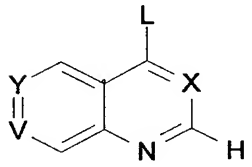
wherein U is as defined above, to prepare a compound of formula (IV)



(IV)

and subsequently (b) reaction with appropriate reagent(s) to substitute the group Q by replacement of the leaving group L'; and, if desired, (c) subsequently converting the compound of formula (I) thereby obtained into another compound of formula (I) by means of appropriate reagents.

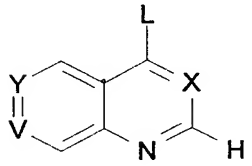
15. A process for the preparation of a compound of formula (I) as defined in claim 1 in which the compound of formula (II) as defined in claim 14 is reacted with the appropriate reagents to substitute the group Q by replacement of the leaving group L' and then the product thereby obtained of formula (V)



(V)

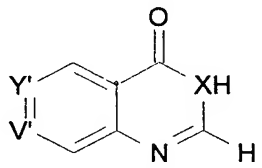
is reacted with the compound of formula (III) as defined above, followed, if desired, by conversion of the compound of formula (I) thereby obtained into another compound of formula (I).

16. A process as claimed in claim 15 wherein the compound of formula (V)



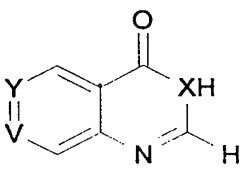
(V)

wherein X, Y, V, U and L are as defined above, may be prepared by the reaction of a compound of formula (VI)



(VI)

wherein V' and Y' are as defined above, with appropriate reagents to substitute the group Q for the leaving group L' to prepare a compound of formula (VII)

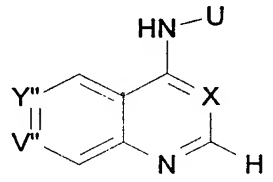


(VII)

and subsequent reaction to incorporate the leaving group L.

17. A process for the preparation of a compound of formula (I) as defined in claim 1 which comprises the steps:

(a) reacting a compound of formula (IV) as defined above with appropriate reagent(s) to prepare a compound of formula (VIII)



(VIII)

wherein X and U are as defined above;
Y" is CT and V" is N;
or Y" is N and V" is CT;
or Y" is CT and V" is CR^2;
or Y" is CR^2 and V" is CT; wherein R^2 is as defined above and T is an appropriately functionalised group;
and (b) subsequently converting the group T into the group R^1 by means of appropriate reagent(s); and, if desired, (c) subsequently converting the compound of formula (I) thereby obtained into another compound of formula (I) by means of appropriate reagents.

18. A pharmaceutical formulation comprising at least one compound of formula (I) as claimed in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof, together with one or more pharmaceutically acceptable carriers, diluents or excipients.

19. A pharmaceutical formulation as claimed in claim 18 in unit dosage form and containing a compound of formula (I) as claimed in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof in an amount of from 70 to 700 mg.

20. A compound of formula (I) as claimed in in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof for use in therapy.

21. The use of a compound of formula (I) as claimed in in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof in the preparation of a medicament for the treatment of a disorder mediated by abberant protein tyrosine kinase activity.

22. The use of a compound of formula (I) as claimed in claim 21 in the preparation of a medicament for the treatment of cancer and malignant tumours.

23. The use of a compound of formula (I) as claimed in claim 21 in the preparation of a medicament for the treatment of psoriasis.

24. A method of treatment of a human or animal subject suffering from a disorder mediated by abberant protein tyrosine kinase activity which comprises administering to said subject an effective amount of a compound of formula (I) as claimed in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof.

25. A method of treatment of a human or animal subject suffering cancer and malignant tumours which comprises administering to said subject an effective amount of a compound of formula (I) as claimed in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof.

26. A method of treatment of a human or animal subject suffering from psoriasis which comprises administering to said subject an effective amount of a compound of formula (I) as claimed in any one of claims 1 to 13 or a pharmaceutically acceptable salt or solvate thereof.

INTERNATIONAL SEARCH REPORT

Intern ıal Application No
PCT/GB 99/00076

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D239/94 C07D403/12 C07D401/12 C07D471/04 A61K31/505

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 95 15758 A (RHONE-POULENC) 15 June 1995 see page 1, line 20 - page 14; claims	1,2,7, 12,14-26
A	WO 97 30034 A (ZENECA) 21 August 1997 see claims; examples 31,38,39	1,2,12, 14-26
A	WO 96 09294 A (WELLCOME) 28 March 1996 cited in the application see claims; examples 21-143	1,2,12, 14-26
A	WO 96 15118 A (ZENECA) 23 May 1996 see the whole document	1,2,12, 14-26

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
28 April 1999	12/05/1999

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Francois, J

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Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Interr ıal Application No
PCT/GB 99/00076

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 03069 A (GLAXO) 30 January 1997 see claims; examples 7,8,11	1,2,12, 14-26
A	WO 97 13771 A (GLAXO) 17 April 1997 see claims; examples 1-21	1,14, 18-26

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INTERNATIONAL SEARCH REPORT

In ational application No.
PCT/GB 99/00076

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 24-26
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claims 24-26 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

...ormation on patent family members

Intern ...al Application No
PCT/GB 99/00076

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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		HR 960465 A	28-02-1998